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=> s 2-hydroxy-TTBA or TTBA
L1          32 2-HYDROXY-TTBA OR TTBA

=> s l1 and BDNF
L2           2 L1 AND BDNF

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DUPLICATE PREFERENCE IS 'USPATFULL, PCTFULL'
KEEP DUPLICATES FROM MORE THAN ONE FILE?  Y/(N):n
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L3           2 DUPLICATE REMOVE L2 (0 DUPLICATES REMOVED)

=> d 1-2

L3   ANSWER 1 OF 2  USPATFULL on STN
AN     2006:160063  USPATFULL
TI     Method for inhibition of necrosis induced by neurotrophin
IN     Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
       Yoon, Sung-Hwa, Suwon-si, JAPAN
       Kim, Sun-Hee, Suwon-si, JAPAN
       Won, Seok-Joon, Suwon-si, JAPAN
PI     US 2006135600       A1  20060622
AI     US 2004-542936      A1  20040120 (10)
       WO 2004-KR119           20040120
                               20050719  PCT 371 date
PRAI   KR 2003-3765        20030120
DT     Utility
FS     APPLICATION
LN.CNT 919
INCL   INCLM: 514/458.000
NCL    NCLM:  514/458.000
IC     IPCI   A61K0031-355 [I,A]; A61K0031-352 [I,C*]
       IPCR   A61K0031-352 [I,C]; A61K0031-355 [I,A]; A61K0031-60 [I,C*];
              A61K0031-60 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3     ANSWER 2 OF 2     PCTFULL   COPYRIGHT 2008 Univentio on STN
AN     2004064844 PCTFULL  ED 20040816  EW 200432
TIEN   METHOD FOR INHIBITION OF NECROSIS INDUCED BY NEUROTROPHIN
TIFR   METHODE D'INHIBITION DE NECROSE INDUITE PAR LA NEUROTROPHINE
IN     YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do_442-810, KR [KR, KR];
       KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do_442-762, KR [KR, KR];
       WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do_442-070, KR [KR, KR];
       GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
       Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
PA     NEUROTECH CO., LTD., 29-35, Woncheon-dong, Paldal-gu, Suwon-si,
       Gyeonggi-do_442-821, KR [KR, KR], for all designates States except US;
       YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do_442-810, KR [KR, KR], for US only;
       KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do_442-762, KR [KR, KR], for US only;
       WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do_442-070, KR [KR, KR], for US only;
       GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
       Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
AG     LEE, Sang-Yong, 4F., Byukcheon Bldg., 1597-5, Seocho-dong, Seocho-gu,
       Seoul_137-876, KR
LAF    Korean
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LA      English
DT      Patent
PI      WO 2004064844          A1 20040805
DS      W:              AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR
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                        FI GE GH HU JP KE KG KP KZ LS MD MX MZ NI PH PL PT RU SK
                        SL TJ TR TT UA UG UZ VN YU
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                        NL PT RO SE SI SK TR
        RW (OAPI):      BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
        RW-U (OAPI):    BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
PRAI    KR 2003-10-2003-0003765 20030120
AI      WO 2004-KR119          A  20040120
ICM     A61K031-60


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DUPLICATE PREFERENCE IS 'MEDLINE, BIOSIS, USPATFULL, PCTFULL'
KEEP DUPLICATES FROM MORE THAN ONE FILE?  Y/(N):n
PROCESSING COMPLETED FOR L1
L4            32 DUPLICATE REMOVE L1 (0 DUPLICATES REMOVED)

=> s l4 and (neurotrophic or neurotrophin# or NGF or NT##)
L5             8 L4 AND (NEUROTROPHIC OR NEUROTROPHIN# OR NGF OR NT##)

=> d 1-8

L5   ANSWER 1 OF 8  USPATFULL on STN
AN      2007:341133  USPATFULL
TI      Compounds and compositions for treating neuronal death or neurological
        dysfunction
IN      Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
        Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
        Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
        Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
        Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
        Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
        Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
        Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
        Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
        Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
        Ko, Sun Young, Suwon-si, KOREA, REPUBLIC OF
        Son, Sun Joo, Suwon-si, KOREA, REPUBLIC OF
        Park, Sun Mi, Seoul, KOREA, REPUBLIC OF
PA      Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF,
        443-821 (non-U.S. corporation)
PI      US 20070298129         A1  20071227
AI      US 2007-804588         A1  20070518 (11)
RLI     Continuation-in-part of Ser. No. US 2006-503379, filed on 11 Aug 2006,
        ABANDONED
PRAI    KR 2005-78028          20050824
        US 2006-780245P        20060308 (60)
DT      Utility
FS      APPLICATION
LN.CNT 2465
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INCL INCLM: 424/722.000
INCLS: 514/567.000; 562/453.000
NCL NCLM: 424/722.000
NCLS: 514/567.000; 562/453.000
IC IPCI A61K0033-00 [I,A]; A61K0031-196 [I,A]; A61K0031-185 [I,C*];
A61P0025-00 [I,A]; A61P0025-16 [I,A]; A61P0025-28 [I,A];
C07C0229-56 [I,A]; C07C0229-00 [I,C*]
IPCR A61K0033-00 [I,C]; A61K0033-00 [I,A]; A61K0031-185 [I,C];
A61K0031-196 [I,A]; A61P0025-00 [I,C]; A61P0025-00 [I,A];
A61P0025-16 [I,A]; A61P0025-28 [I,A]; C07C0229-00 [I,C];
C07C0229-56 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 2 OF 8 USPATFULL on STN
AN 2007:56619 USPATFULL
TI Combination of cell necrosis inhibitor and lithium for treating neuronal death or neurological dysfunction
IN Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
PA Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF (non-U.S. corporation)
PI US 20070049565 A1 20070301
AI US 2006-503379 A1 20060811 (11)
PRAI KR 2005-78028 20050824
US 2006-780245P 20060308 (60)
DT Utility
FS APPLICATION
LN.CNT 1284
INCL INCLM: 514/159.000
INCLS: 514/534.000; 514/649.000; 514/567.000
NCL NCLM: 514/159.000
NCLS: 514/534.000; 514/567.000; 514/649.000
IC IPCI A61K0031-60 [I,A]; A61K0031-195 [I,A]; A61K0031-185 [I,C*];
A61K0031-24 [I,A]; A61K0031-21 [I,C*]; A61K0031-137 [I,A]
IPCR A61K0031-60 [I,C]; A61K0031-60 [I,A]; A61K0031-137 [I,C];
A61K0031-137 [I,A]; A61K0031-185 [I,C]; A61K0031-195 [I,A];
A61K0031-21 [I,C]; A61K0031-24 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 3 OF 8 USPATFULL on STN
AN 2006:160063 USPATFULL
TI Method for inhibition of necrosis induced by neurotrophin
IN Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
Yoon, Sung-Hwa, Suwon-si, JAPAN
Kim, Sun-Hee, Suwon-si, JAPAN
Won, Seok-Joon, Suwon-si, JAPAN
PI US 2006135600 A1 20060622
AI US 2004-542936 A1 20040120 (10)
WO 2004-KR119 20040120
20050719 PCT 371 date
PRAI KR 2003-3765 20030120
DT Utility
FS APPLICATION
LN.CNT 919
INCL INCLM: 514/458.000

NCL NCLM: 514/458.000
IC IPCI A61K0031-355 [I,A]; A61K0031-352 [I,C*]
IPCR A61K0031-352 [I,C]; A61K0031-355 [I,A]; A61K0031-60 [I,C*]; A61K0031-60 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 4 OF 8 USPATFULL on STN
AN 2005:152308 USPATFULL
TI Nucleotide sequence of the haemophilus influenzae Rd genome, fragments thereof, and uses thereof
IN Fleischmann, Robert D., Gaithersburg, MD, UNITED STATES
Adams, Mark D., Cleveland Heights, OH, UNITED STATES
White, Owen, Rockville, MD, UNITED STATES
Smith, Hamilton O., Reisterstown, MD, UNITED STATES
Venter, J. Craig, Queenstown, MD, UNITED STATES
PA Human Genome Sciences, Inc., Rockville, MD, UNITED STATES (U.S. corporation)
Johns Hopkins University, Baltimore, MD, UNITED STATES (U.S. corporation)
PI US 20050131222 A1 20050616
AI US 2004-981687 A1 20041105 (10)
RLI Division of Ser. No. US 2002-158856, filed on 3 Jun 2002, PENDING
Division of Ser. No. US 2000-557884, filed on 25 Apr 2000, GRANTED, Pat. No. US 6506581 Continuation of Ser. No. US 1995-476102, filed on 7 Jun 1995, GRANTED, Pat. No. US 6355450 Continuation-in-part of Ser. No. US 1995-426787, filed on 21 Apr 1995, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 5495
INCL INCLM: 536/023.700
NCL NCLM: 536/023.700
IC [7]
ICM C07H021-04
IPCI C07H0021-04 [ICM,7]; C07H0021-00 [ICM,7,C*]
IPCR C07K0014-195 [I,C*]; C07K0014-285 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 5 OF 8 USPATFULL on STN
AN 96:3497 USPATFULL
TI Multinuclear complexes for x-ray imaging
IN Almen, Torsten, Malmo, Sweden
Berg, Arne, Blommenholm, Norway
Chang, C. Allen, Palo Alto, CA, United States
Droege, Michael, Livermore, CA, United States
Dugstad, Harald, Oslo, Norway
Fellman, Jere D., Livermore, CA, United States
Kim, Sook-Hui, Mountain View, CA, United States
Klaveness, Jo, Oslo, Norway
Rocklage, Scott M., Los Gatos, CA, United States
Rongved, Pal, Hellvik, Norway
Segal, Brent, Sunnyvale, CA, United States
Watson, Alan D., Campbell, CA, United States
PA Nycomed Salutar Inc., Sunnyvale, CA, United States (U.S. corporation)
PI US 5482699 19960109
WO 9217215 19921015
AI US 1993-122461 19930924 (8)
WO 1992-EP698 19920327
19930924 PCT 371 date
19931124 PCT 102(e) date
PRAI GB 1991-6579 19910327
GB 1991-20507 19910926
DT Utility
FS Granted

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LN.CNT 2375
INCL    INCLM: 424/009.420
        INCLS: 534/015.000; 534/016.000; 556/008.000; 556/031.000; 556/061.000;
               540/474.000; 514/836.000
NCL     NCLM:  424/009.420
        NCLS:  514/836.000; 534/015.000; 534/016.000; 540/474.000; 556/008.000;
               556/031.000; 556/061.000; 977/903.000; 977/928.000; 977/929.000
IC      [6]
        ICM    A61K049-04
        IPCI   A61K0049-04 [ICM,6]
        IPCR   A61K0049-00 [I,C*]; A61K0049-00 [I,A]; A61K0049-06 [I,C*];
               A61K0049-06 [I,A]; C07C0229-00 [I,C*]; C07C0229-16 [I,A];
               C07C0237-00 [I,C*]; C07C0237-08 [I,A]; C07F0011-00 [I,C*];
               C07F0011-00 [I,A]; C07F0013-00 [I,C*]; C07F0013-00 [I,A];
               C07F0015-00 [I,C*]; C07F0015-00 [I,A]; C07F0015-02 [I,A]
EXF     247/4; 247/9.42; 534/15; 534/16; 556/8; 556/31; 556/61; 540/474; 514/836
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5      ANSWER 6 OF 8      PCTFULL   COPYRIGHT 2008 Univentio on STN
AN      2004064844 PCTFULL  ED 20040816  EW 200432
TIEN    METHOD FOR INHIBITION OF NECROSIS INDUCED BY NEUROTROPHIN
TIFR    METHODE D'INHIBITION DE NECROSE INDUITE PAR LA NEUROTROPHINE
IN      YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-810, KR [KR, KR];
        KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-762, KR [KR, KR];
        WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-070, KR [KR, KR];
        GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
        Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
PA      NEUROTECH CO., LTD., 29-35, Woncheon-dong, Paldal-gu, Suwon-si,
        Gyeonggi-do_442-821, KR [KR, KR], for all designates States except US;
        YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-810, KR [KR, KR], for US only;
        KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-762, KR [KR, KR], for US only;
        WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-070, KR [KR, KR], for US only;
        GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
        Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
AG      LEE, Sang-Yong, 4F., Byukcheon Bldg., 1597-5, Seocho-dong, Seocho-gu,
        Seoul_137-876, KR
LAF     Korean
LA      English
DT      Patent
PI      WO 2004064844          A1 20040805
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PRAI    KR 2003-10-2003-0003765 20030120
AI      WO 2004-KR119          A  20040120
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ICM    A61K031-60

L5     ANSWER 7 OF 8      PCTFULL   COPYRIGHT 2008 Univentio on STN
AN     2002091550 PCTFULL  ED 20021121  EW 200246
TIEN   BIPOLAR MACHINES   A NEW CLASS OF HOMOPOLAR MOTOR/GENERATOR
TIFR   MACHINES BIPOLAIRES: NOUVELLE CLASSE DE MOTEUR/GENERATEUR HOMOPOLAIRE
IN     WILSDORF, Doris, Apartment 278, 2600 Barracks Road, Charlottsville, VA
       22901, US [US, US]
PA     WILSDORF, Doris, Apartment 278, 2600 Barracks Road, Charlottsville, VA
       22901, US [US, US]
AG     HAYNES, Michael, N., LeClair Ryan, 8th Floor, 123 East Main Street,
       Charlottesville,VA 22901, US
LAF    English
LA     English
DT     Patent
PI     WO 2002091550         A1 20021114
DS     W:             AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU
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                      IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN
                      MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM
                      TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW
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       RW (EAPO):     AM AZ BY KG KZ MD RU TJ TM
       RW (EPO):      AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR
       RW (OAPI):     BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
PRAI   US 2001-60/289,123       20010508
       US 2001-60/297,283       20010612
       US 2001-60/303,394       20010709
       US 2001-60/313,001       20010820
       US 2001-60/329,550       20011017
AI     WO 2002-US14160       A  20020506
ICM    H02K031-00

L5     ANSWER 8 OF 8      PCTFULL   COPYRIGHT 2008 Univentio on STN
AN     1994010968 PCTFULL  ED 20020513
TIEN   COMPOSITIONS AND METHODS FOR TEMPORARILY COLORING HAIR USING SOLUBILIZED
       MELANIN
TIFR   COMPOSITIONS ET PROCEDES PERMETTANT DE COLORER TEMPORAIREMENT LES
       CHEVEUX AVEC DE LA MELANINE SOLUBILISEE
IN     WOLFRAM, Lessek, J.;
       WENKE, Gottfried
PA     BRISTOL-MYERS SQUIBB COMPANY;
       WOLFRAM, Lessek, J.;
       WENKE, Gottfried
LA     English
DT     Patent
PI     WO 9410968            A1 19940526
DS     W:             AU CA JP US AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT
                      SE
PRAI   US 1992-7/978,611        19921119
AI     WO 1993-US11174       A  19931117
ICM    A61K007-06
ICS    A61K007:09; A61K007:11; C09B049:00


=> d 8 hit

L5     ANSWER 8 OF 8      PCTFULL   COPYRIGHT 2008 Univentio on STN

DETD   While solubilized melanin as obtained above may be
       isolated by acidification of the aqueous reaction medium,
       it is not preferred to do so as there is some evidence to
       suggest that solublized melanin in solid form ages and is
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less suitable in the preparation of the compositions of the present invention. Accordingly, the soluble melanin is preferably used in the form of dilute aqueous solution having a pH above 4, pref erably from about 6 to about 10 I most preferably from about 7 to about 8. Freshly a,zom v I sntli, *BPTxoaad usboapAig pup UTueTBm usomqaq UOT40VSa Blr4 90 W84xs Pup A4T29ABs atM uodn bUTpuedep P9T.1'e,& aq uez OTD-C-4ae m-ea;TOM OtM tMm 90U'ePaO00le UT POUT,e-4qo UTUVTBM PBZTTTqnTOS BtU4 9:0 29j0RJ'e'q0 OTUOTUR OtT-4 'PaRbB2 STq4 UI e9TnoSTOM UTUVT9M OXT4 UO luesead sabaV90 OTUOTUP 90 4U84X9 8XM Je9oT JTVT2949M OTUOT420 OtP tPTm s9x8Tdmoo 4T q0TtjA 04 sezffiep aiM uodn puadep OsTR PTnom UOT4Tsodmoo OtV4 UT quesead UTUPT9m PBZTTTqnTOS go junoum gq4 'UOT4UBAUT Wq4 JO AaOBtM So4UP3TTddP uo poses *20TOD 2TVq PU9 P9aTS9p StM PUP lbuTeAp o4 aoTad jamnsuon atr4 go aOTOD aTR'q TRT4TUT 89Z Opesn JgTaaRD atM se qons saolorg bUT 2 AJV.A TTTA UOTd4U8,AUT STtM
I JO UOT4Tsodmoz
.P2000
844 UT p9aTnboa UTUVT9M POZTTTc[nTOs go qunoum aql oTgTa942M DTUOT4r3 sTqTsaadSTP a94Rm 20 9Tc[nTOs za4Rm OT44 tMm xsTdmoo le bUTM.XOJ JO sTqledeo UTuvT9m PBZTTTc[nTOs *'a*T 'aTnoOTOm UTuVT9m OW4 04 29402agqO OTUOTUP up s4ardMT 42'q4 POtPom Aue Aq P9UTrqqo aq ARM UOT4UBAUT ST114 44Tm asn aoj sTctvlTns ST IVT44 uTuRTsm POZTTTcrnTOS atil *4USMbTd 9TcrnTOsuT 814-4 0-4 S29;92 aUTuvT9mu M.184 9lq4 UT928ti pasn sv 6TROT-4TaD lOu ST UTuvT9m atr4 go aoanos aul *SUOT4TPuOD POTTOaquOD a9pun edop go UOT49PTxO 9q4 Aq 'aeTn3T4avd UT 'UMOUX 9aP UTurTam bUTXVM se4nox DT-49tt4UAS snOT.1VA ATxeTTMTS *99RUTS02A4 pup edop 90 UOT4029a Aq apem aq URD UTurTsm 0Tj944UAS0Tq -4ale 944 UT umOuX TTBA BV *sTqRTTRAP ATTRT029MMOO ST (UTuPT9m VTdas) UTuPT9m PTnbs '-'PTn0T4avd ul *sTPMTUV 29q4O PUP 9UTAoq laqvurTad lurmnq bUTPnTOUT issoanos Tvan4eu JO A49TaVA R M02; P94RTOST aq ARM SUTurTsm Isnql *uTuPTOm OTqgq4UASOTq ao 'P9ZTS9tMUAS ATTROTUM40 'bUTaanoDO-ATTranqvu moag POUTV490 aq ARM UOT4UBAUT ST114 UT Tn;asn ST -42tp UTuVT9m PBZTTTqnTOs B'ql osssoons poob ti4TA pasn
aq OsTP ARM =09 PTTOS UT UTUVT9M PSZTTTqnTOS pamdead 89601/t,6 OM
soluble material will be obtained with increasingly severe reaction conditions or longer duration of contact with peroxide. A tinctorially effective amount of solubilized melanin should be used. In general, however, the amount of solubilized melanin required is at least about 0.1%, typically from about 0.1% up to its solubility limit in the composition, but generally less than about 5,0%, and preferably from about 0.2 to about 3.0%. all concentrations being on a weight basis.

```
=> s 2-hydroxy-5-(2,3,5,6-tetrafluoro-4-trifluoromethyl-benzylamino)-benzoic acid#
MISSING OPERATOR 'HYDROXY-5-(2,3,5,6-TE'
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s 2-hydroxy-5-2,3,5,6-tetrafluoro-4-trifluoromethyl-benzylamino-benzoic acid#
L6          11 2-HYDROXY-5-2,3,5,6-TETRAFLUORO-4-TRIFLUOROMETHYL-BENZYLAMINO-BE
               NZOIC ACID#

=> duplicate remove
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KEEP DUPLICATES FROM MORE THAN ONE FILE?  Y/(N):n
PROCESSING COMPLETED FOR L6
L7             9 DUPLICATE REMOVE L6 (2 DUPLICATES REMOVED)

=> s l7 and (BDNF or neurotrophic or neurotrophin)
L8             3 L7 AND (BDNF OR NEUROTROPHIC OR NEUROTROPHIN)

=> d 1-3

L8   ANSWER 1 OF 3  USPATFULL on STN
AN     2007:341133  USPATFULL
TI     Compounds and compositions for treating neuronal death or neurological
       dysfunction
IN     Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
       Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
       Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
       Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
       Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
       Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
       Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
       Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
       Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
       Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
       Ko, Sun Young, Suwon-si, KOREA, REPUBLIC OF
       Son, Sun Joo, Suwon-si, KOREA, REPUBLIC OF
       Park, Sun Mi, Seoul, KOREA, REPUBLIC OF
PA     Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF,
       443-821 (non-U.S. corporation)
PI     US 20070298129      A1  20071227
AI     US 2007-804588      A1  20070518 (11)
RLI    Continuation-in-part of Ser. No. US 2006-503379, filed on 11 Aug 2006,
       ABANDONED
PRAI   KR 2005-78028       20050824
       US 2006-780245P     20060308 (60)
DT     Utility
FS     APPLICATION
LN.CNT 2465
INCL   INCLM: 424/722.000
       INCLS: 514/567.000; 562/453.000
NCL    NCLM:  424/722.000
       NCLS:  514/567.000; 562/453.000
IC     IPCI   A61K0033-00 [I,A]; A61K0031-196 [I,A]; A61K0031-185 [I,C*];
              A61P0025-00 [I,A]; A61P0025-16 [I,A]; A61P0025-28 [I,A];
              C07C0229-56 [I,A]; C07C0229-00 [I,C*]
       IPCR   A61K0033-00 [I,C]; A61K0033-00 [I,A]; A61K0031-185 [I,C];
              A61K0031-196 [I,A]; A61P0025-00 [I,C]; A61P0025-00 [I,A];
              A61P0025-16 [I,A]; A61P0025-28 [I,A]; C07C0229-00 [I,C];
              C07C0229-56 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8   ANSWER 2 OF 3  USPATFULL on STN
AN     2007:56619  USPATFULL
TI     Combination of cell necrosis inhibitor and lithium for treating neuronal
       death or neurological dysfunction
IN     Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
       Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
       Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
       Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
       Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
       Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
       Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
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       Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
       Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
       Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
PA     Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF
       (non-U.S. corporation)
PI     US 20070049565       A1  20070301
AI     US 2006-503379       A1  20060811 (11)
PRAI   KR 2005-78028        20050824
       US 2006-780245P      20060308 (60)
DT     Utility
FS     APPLICATION
LN.CNT 1284
INCL   INCLM: 514/159.000
       INCLS: 514/534.000; 514/649.000; 514/567.000
NCL    NCLM:  514/159.000
       NCLS:  514/534.000; 514/567.000; 514/649.000
IC     IPCI   A61K0031-60 [I,A]; A61K0031-195 [I,A]; A61K0031-185 [I,C*];
              A61K0031-24 [I,A]; A61K0031-21 [I,C*]; A61K0031-137 [I,A]
       IPCR   A61K0031-60 [I,C]; A61K0031-60 [I,A]; A61K0031-137 [I,C];
              A61K0031-137 [I,A]; A61K0031-185 [I,C]; A61K0031-195 [I,A];
              A61K0031-21 [I,C]; A61K0031-24 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8   ANSWER 3 OF 3  USPATFULL on STN
AN     2006:160063  USPATFULL
TI     Method for inhibition of necrosis induced by neurotrophin
IN     Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
       Yoon, Sung-Hwa, Suwon-si, JAPAN
       Kim, Sun-Hee, Suwon-si, JAPAN
       Won, Seok-Joon, Suwon-si, JAPAN
PI     US 2006135600        A1  20060622
AI     US 2004-542936       A1  20040120 (10)
       WO 2004-KR119            20040120
                                20050719  PCT 371 date
PRAI   KR 2003-3765         20030120
DT     Utility
FS     APPLICATION
LN.CNT 919
INCL   INCLM: 514/458.000
NCL    NCLM:  514/458.000
IC     IPCI   A61K0031-355 [I,A]; A61K0031-352 [I,C*]
       IPCR   A61K0031-352 [I,C]; A61K0031-355 [I,A]; A61K0031-60 [I,C*];
              A61K0031-60 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.


=> s tetrafluorobenzyl?
L9          388 TETRAFLUOROBENZYL?

=> s l9 and (BDNF or neurotrophic or neurotrophin)
L10           8 L9 AND (BDNF OR NEUROTROPHIC OR NEUROTROPHIN)

=> duplicate remove
ENTER L# LIST OR (END):l10
DUPLICATE PREFERENCE IS 'USPATFULL, PCTFULL'
KEEP DUPLICATES FROM MORE THAN ONE FILE?  Y/(N):n
PROCESSING COMPLETED FOR L10
L11           8 DUPLICATE REMOVE L10 (0 DUPLICATES REMOVED)

=> d 1-8

L11  ANSWER 1 OF 8  USPATFULL on STN
AN     2007:341133  USPATFULL
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TI Compounds and compositions for treating neuronal death or neurological dysfunction
IN Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
Ko, Sun Young, Suwon-si, KOREA, REPUBLIC OF
Son, Sun Joo, Suwon-si, KOREA, REPUBLIC OF
Park, Sun Mi, Seoul, KOREA, REPUBLIC OF
PA Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF, 443-821 (non-U.S. corporation)
PI US 20070298129 A1 20071227
AI US 2007-804588 A1 20070518 (11)
RLI Continuation-in-part of Ser. No. US 2006-503379, filed on 11 Aug 2006, ABANDONED
PRAI KR 2005-78028 20050824
US 2006-780245P 20060308 (60)
DT Utility
FS APPLICATION
LN.CNT 2465
INCL INCLM: 424/722.000
INCLS: 514/567.000; 562/453.000
NCL NCLM: 424/722.000
NCLS: 514/567.000; 562/453.000
IC IPCI A61K0033-00 [I,A]; A61K0031-196 [I,A]; A61K0031-185 [I,C*]; A61P0025-00 [I,A]; A61P0025-16 [I,A]; A61P0025-28 [I,A]; C07C0229-56 [I,A]; C07C0229-00 [I,C*]
IPCR A61K0033-00 [I,C]; A61K0033-00 [I,A]; A61K0031-185 [I,C]; A61K0031-196 [I,A]; A61P0025-00 [I,C]; A61P0025-00 [I,A]; A61P0025-16 [I,A]; A61P0025-28 [I,A]; C07C0229-00 [I,C]; C07C0229-56 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 2 OF 8 USPATFULL on STN
AN 2007:243895 USPATFULL
TI Compounds to treat Alzheimer's disease
IN Fang, Lawrence Y., Foster City, CA, UNITED STATES
Gailunas, Andrea, Burlingame, CA, UNITED STATES
Hom, Roy, San Francisco, CA, UNITED STATES
Jagodzinska, Barbara, Redwood City, CA, UNITED STATES
Maillard, Michel, Redwood City, CA, UNITED STATES
John, Varghese, San Francisco, CA, UNITED STATES
Pulley, Shon R., Nobelsville, IN, UNITED STATES
Beck, James P., Zionsville, IN, UNITED STATES
TenBrink, Ruth E., Labadie, MO, UNITED STATES
Freskos, John N., Clayton, MO, UNITED STATES
PA Elan Pharmaceuticals and pharmacia & Upjohn Company LLC (U.S. corporation)
PI US 20070213407 A1 20070913
AI US 2006-529749 A1 20060928 (11)
RLI Continuation of Ser. No. US 2001-896139, filed on 29 Jun 2001, GRANTED, Pat. No. US 7214715
DT Utility
FS APPLICATION
LN.CNT 16317
INCL INCLM: 514/667.000
INCLS: 435/184.000; 435/188.000; 435/195.000; 435/375.000; 530/300.000;

530/387.100; 530/402.000; 549/417.000; 564/503.000
NCL NCLM: 514/667.000
NCLS: 435/184.000; 435/188.000; 435/195.000; 435/375.000; 530/300.000; 530/387.100; 530/402.000; 549/417.000; 564/503.000
IC IPCI A61K0031-13 [I,A]; A61K0031-205 [I,A]; A61K0031-185 [I,C*]
IPCR A61K0031-13 [I,C]; A61K0031-13 [I,A]; A61K0031-185 [I,C]; A61K0031-205 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 3 OF 8 USPATFULL on STN
AN 2007:56619 USPATFULL
TI Combination of cell necrosis inhibitor and lithium for treating neuronal death or neurological dysfunction
IN Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
PA Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF (non-U.S. corporation)
PI US 20070049565 A1 20070301
AI US 2006-503379 A1 20060811 (11)
PRAI KR 2005-78028 20050824
US 2006-780245P 20060308 (60)
DT Utility
FS APPLICATION
LN.CNT 1284
INCL INCLM: 514/159.000
INCLS: 514/534.000; 514/649.000; 514/567.000
NCL NCLM: 514/159.000
NCLS: 514/534.000; 514/567.000; 514/649.000
IC IPCI A61K0031-60 [I,A]; A61K0031-195 [I,A]; A61K0031-185 [I,C*]; A61K0031-24 [I,A]; A61K0031-21 [I,C*]; A61K0031-137 [I,A]
IPCR A61K0031-60 [I,C]; A61K0031-60 [I,A]; A61K0031-137 [I,C]; A61K0031-137 [I,A]; A61K0031-185 [I,C]; A61K0031-195 [I,A]; A61K0031-21 [I,C]; A61K0031-24 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 4 OF 8 USPATFULL on STN
AN 2006:160063 USPATFULL
TI Method for inhibition of necrosis induced by neurotrophin
IN Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
Yoon, Sung-Hwa, Suwon-si, JAPAN
Kim, Sun-Hee, Suwon-si, JAPAN
Won, Seok-Joon, Suwon-si, JAPAN
PI US 2006135600 A1 20060622
AI US 2004-542936 A1 20040120 (10)
WO 2004-KR119 20040120
20050719 PCT 371 date
PRAI KR 2003-3765 20030120
DT Utility
FS APPLICATION
LN.CNT 919
INCL INCLM: 514/458.000
NCL NCLM: 514/458.000
IC IPCI A61K0031-355 [I,A]; A61K0031-352 [I,C*]
IPCR A61K0031-352 [I,C]; A61K0031-355 [I,A]; A61K0031-60 [I,C*]; A61K0031-60 [I,A]

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 5 OF 8 USPATFULL on STN
AN 2005:63532 USPATFULL
TI Methods for obtaining molecules with reduced immunogenicity
IN Marshall, Christopher P., Brooklyn, NY, UNITED STATES
PI US 20050054572 A1 20050310
AI US 2004-886037 A1 20040706 (10)
PRAI US 2003-484880P 20030703 (60)
DT Utility
FS APPLICATION
LN.CNT 3091
INCL INCLM: 514/012.000
INCLS: 435/068.100; 530/409.000
NCL NCLM: 514/012.000
NCLS: 435/068.100; 530/409.000
IC [7]
ICM A61K038-17
ICS C12P021-06
IPCI A61K0038-17 [ICM,7]; C12P0021-06 [ICS,7]
IPCR A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07K0001-00 [I,C*];
C07K0001-107 [I,A]; C07K0014-435 [I,C*]; C07K0014-55 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 6 OF 8 PCTFULL COPYRIGHT 2008 Univentio on STN
AN 2004064844 PCTFULL ED 20040816 EW 200432
TIEN METHOD FOR INHIBITION OF NECROSIS INDUCED BY NEUROTROPHIN
TIFR METHODE D'INHIBITION DE NECROSE INDUITE PAR LA NEUROTROPHINE
IN YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-810, KR [KR, KR];
KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-762, KR [KR, KR];
WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-070, KR [KR, KR];
GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
PA NEUROTECH CO., LTD., 29-35, Woncheon-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-821, KR [KR, KR], for all designates States except US;
YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-810, KR [KR, KR], for US only;
KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-762, KR [KR, KR], for US only;
WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-070, KR [KR, KR], for US only;
GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
AG LEE, Sang-Yong, 4F., Byukcheon Bldg., 1597-5, Seocho-dong, Seocho-gu, Seoul_137-876, KR
LAF Korean
LA English
DT Patent
PI WO 2004064844 A1 20040805
DS W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR
CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID
IL IN IS JP KE KG KP KZ LC LK LR LS LT LU LV MA MD MG MK
MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO RU SC SD SE SG
SK SL SY TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW
W-U: AE AL AM AT AU AZ BG BR BY BZ CN CO CR CZ DE DK EC EE ES
FI GE GH HU JP KE KG KP KZ LS MD MX MZ NI PH PL PT RU SK
SL TJ TR TT UA UG UZ VN YU
RW (ARIPO): BW GH GM KE LS MW MZ SD SL SZ TZ UG ZM ZW
RW-U (ARIPO): BW GH GM KE LS MW MZ SD SL SZ TZ UG ZM ZW
RW (EAPO): AM AZ BY KG KZ MD RU TJ TM

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                     NL PT RO SE SI SK TR
       RW (OAPI):    BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
       RW-U (OAPI):  BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
PRAI   KR 2003-10-2003-0003765 20030120
AI     WO 2004-KR119        A  20040120
ICM    A61K031-60

L11  ANSWER 7 OF 8  USPATFULL on STN
AN     2002:236057  USPATFULL
TI     Compounds to treat alzheimer's disease
IN     Beck, James P., Kalamazoo, MI, UNITED STATES
       Fang, Lawrence Y., Foster City, CA, UNITED STATES
       Freskos, John N., Clayton, MO, UNITED STATES
       Gailunas, Andrea, San Francisco, CA, UNITED STATES
       Hom, Roy, San Francisco, CA, UNITED STATES
       Jagodzinska, Barbara, Redwood City, CA, UNITED STATES
       John, Varghese, San Francisco, CA, UNITED STATES
       Maillard, Michel, Redwood Shores, CA, UNITED STATES
       Pulley, Shon R., Hickory Corners, MI, UNITED STATES
       TenBrink, Ruth E., Kalamazoo, MI, UNITED STATES
PI     US 20020128255      A1  20020912
       US 7214715          B2  20070508
AI     US 2001-896139      A1  20010629 (9)
PRAI   US 2000-215323P     20000630 (60)
       US 2000-252736P     20001122 (60)
       US 2000-255956P     20001215 (60)
       US 2001-268497P     20010213 (60)
       US 2001-279779P     20010329 (60)
       US 2001-295589P     20010604 (60)
DT     Utility
FS     APPLICATION
LN.CNT 21437
INCL   INCLM: 514/211.150
       INCLS: 514/396.000; 514/423.000; 514/357.000; 514/438.000; 514/616.000
NCL    NCLM:  514/616.000; 514/211.150
       NCLS:  514/617.000; 564/156.000; 564/185.000; 514/357.000; 514/396.000;
              514/423.000; 514/438.000
IC     [7]
       ICM    A61K031-553
       ICS    A61K031-554; A01N043-40
       IPCI   A61K0031-553 [ICM,7]; A61K0031-554 [ICS,7]; A01N0043-40 [ICS,7];
              A01N0043-34 [ICS,7,C*]
       IPCI-2 A61K0031-166 [I,A]; C07D0233-78 [I,A]; C07D0233-00 [I,C*]
       IPCR   A61K0031-166 [I,C]; A61K0031-166 [I,A]; C07C0215-00 [I,C*];
              C07C0215-28 [I,A]; C07C0233-00 [I,C*]; C07C0233-78 [I,A];
              C07C0235-00 [I,C*]; C07C0235-84 [I,A]; C07C0239-00 [I,C*];
              C07C0239-20 [I,A]; C07C0243-00 [I,C*]; C07C0243-22 [I,A];
              C07C0243-28 [I,A]; C07C0271-00 [I,C*]; C07C0271-16 [I,A];
              C07C0271-18 [I,A]; C07C0275-00 [I,C*]; C07C0275-24 [I,A];
              C07C0311-00 [I,C*]; C07C0311-03 [I,A]; C07C0311-08 [I,A];
              C07C0311-13 [I,A]; C07C0311-16 [I,A]; C07C0311-37 [I,A];
              C07C0317-00 [I,C*]; C07C0317-44 [I,A]; C07C0323-00 [I,C*];
              C07C0323-60 [I,A]; C07D0211-00 [I,C*]; C07D0211-60 [I,A];
              C07D0215-00 [I,C*]; C07D0215-12 [I,A]; C07D0233-00 [I,C];
              C07D0233-78 [I,A]; C07D0277-00 [I,C*]; C07D0277-04 [I,A];
              C07D0295-00 [I,C*]; C07D0295-13 [I,A]; C07D0295-26 [I,A];
              C07D0303-00 [I,C*]; C07D0303-36 [I,A]; C07D0307-00 [I,C*];
              C07D0307-52 [I,A]; C07D0307-54 [I,A]; C07D0333-00 [I,C*];
              C07D0333-24 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11    ANSWER 8 OF 8      PCTFULL   COPYRIGHT 2008 Univentio on STN
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AN 2002002512 PCTFULL ED 20020814
TIEN COMPOUNDS TO TREAT ALZHEIMER'S DISEASE
TIFR COMPOSES UTILES POUR TRAITER LA MALADIE D'ALZHEIMER
IN MAILLAIRD, Michel;
HOM, Court;
GAILUNAS, Andrea;
JAGODZINSKA, Barbara;
FANG, Lawrence, Y.;
JOHN, Varghese;
FRESKOS, John, N.;
PULLEY, Shon, R.;
BECK, James, P.;
TENBRINK, Ruth, E.
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US 2000-60/252,736 20001122
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AI WO 2001-US21012 A 20010629
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DETD [0083] Protein Crosslinking--A vast literature, and a wide variety of methods of crosslinking proteins intro- and intermolecularly are also known with varying lengths of spacer arms, and with and without fluorescent and functional groups for purification. These methods include the use of heterobifunctional crosslinkers (e.g. succinimidyl acetylthioacetate (SATA), trans-4-(maleimidylmethyl) cyclohexane-1-carboxylate (SMCC), and succinimidyl 3-(2-pyridyldithio)propionate (SPDP)), homobifunctional crosslinkers (e.g. succinimidyl 3-(2-pyridyldithio)propionate), photoreactive crosslinkers (e.g. 4-azido-2,3,5,6-tetrafluorobenzoic acid, STP ester, sodium salt (ATFB, STP ester), 4-azido-2,3,5,6-tetrafluorobenzoic acid, succinimidyl ester (ATFB, SE), 4-azido-2,3,5,6-tetrafluorobenzyl amine, hydrochloride, benzophenone-4-isothiocyanate, benzophenone-4-maleimide, 4-benzoylbenzoic acid, succinimidyl ester, N-((2-pyridyldithio)ethyl)-4-azidosalicylamide (PEAS; AET), thiol reactive crosslinkers (e.g. maleimides and iodoacetamides), amine reactive crosslinkers (e.g. glutaraldyde, bis(imido esters), bis(succinimidyl esters), diisocyanates and diacid chlorides). Because thiol groups are highly reactive and relatively rare in most proteins by comparison to amine groups, thiol-reactive crosslinking is generally preferred. In cases where thiol groups are missing at the appropriate sites in the structures of polypeptides, proteins, and protein complexes, they can be introduced using one of several thiolation methods. For examples, Succinimidyl trans-4-(maleimidylmethyl)cyclohexane-1-carboxylate can be used to introduce thiol-reactive groups at amine sites.

DETD [0109] Therapeutic Products--Therapeutic protein-base products to which the instant invention can be applied may, for example, act as cytokines that trigger/induce biochemical signaling cascades, and cellular and physiological responses, by binding to, and activating, receptors on the surface of targeted cells. Non-limiting examples of cytokines include any of the interferons, any of the interleukins, members of the NFG/TGF family (e.g. NGF, TGF, BDNF, NT-3, NT-4/5, NT-6, TRAIL, OPG, and FasL), any of the colony stimulating factors (e.g. M-CSF, G-CSF, and GM-CSF), any of the FGF family, any members of the insulin family, EGF and related cytokines, VEGF, and PDGF and related cytokines. On the other hand, therapeutic, protein-based products to which the instant invention can be applied may act as cytokine traps, which are protein constructs that include the extracellular domains of cytokine receptors, and that bind to, sequester, and inactivate endogenous cytokines. Non-limiting examples of cytokine traps include the IL-1, IL-4/13, and the VEGF Traps by Regeneron Inc.

DETD [0229] Cytokines fall into only a few structural classifications. The family of Short-chain 4 Alpha-helical Bundles includes but is not limited to, colony stimulating factors M-CSF and GM-CSF, IL2, IL3, IL4, IL5, IL7, IL9, IL13, SCF, and IFN-γ, and the family of Long-chain 4 Alpha-helical Bundles includes, but is not limited to erythropoietin, IFN-α, IFN-β, growth hormone, G-CSF, IL6, IL10, IL11, IL12 alpha, PRL, CNTF, LIF, OSM. Within the family of Long-chain Beta-Sheets, Jelly Rolls--that generally trimerize, bind three receptor subunits, and are often cell surface bound--include, but are not limited to, TNA a, and -b, 4-1BB-L, APRIL, BAFF, CD27L, CD30L, CD40L, FasL, LIGHT, Ox-40-L, TRANCE, TRAIL, AND TWEAK; Beta-trefoils include, but are not limited to, IL1a and b, ac.FGF, bas.FGF, INT-2, and KGF; and Cystine Knots--a large family of cytokines that generally homodimerize and contain three disulfide bonds--include, but are not limited to, TGFβ1, 2, and 3, activin, inhibin, the BMP's (more than 30), PDGF a and b, VEGF, PIGF, NGF, BDNF, NT3, and NT4/5. Short-chain alpha/beta cytokines include, but are not limited to, the EGF-domain, EGF, TGF-α, beta-cellulin, SCDGF, CCGF, Amphiregulin, and HB-EGF. Chemokines (C--C, C--X--C, and C--XXX--C; also classified as α+ structures) include, but are not limited to, MCP-1, -2, and -3, RANTES, MIP1-α and -β, IL-8, GRO, PF-4, MIP-2, NAP-2, GCP-2, ENA-78, and IP-10. The Insulin-like cytokines include, but are not limited to, insulin, IGF I and II, relaxin, and bombyxin. Some cytokines have mosaic structures, including, but not limited to, HGF, IL12, Ig-EGF-TK-Cyt, the HRG alphas and betas, NDF, ARIA, and GGF.

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CLMEN hydroxypropyl) methyl- N3,N3-
dipropylisophthalamide,
Nl-«1S,2R)-I-(3,5-difluorobenzyl) hydroxy {[(6-isopropyl
pyrimidinyl)methyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
Nl-[(1S,2R) (3,5-difluorobenzyl) ({[6-(dimethylarnino)
pyrimidinyl]methyl}amino) hydroxypropyl] methyl-N3,N3-
dipropylisophthalamide,
Nl-[(1S,2R) (3,5-difluorobenzyl) ({[2-(dimethylamino)
pyrimidinyl]methyl}amino) hydroxypropyll methyl-N3,N3-
dipropylisophthalamide,
NI-[(1S,2R)-I-(3,5-difluorobenzyl) ({[4-(dimethylarnino)
pyrimidinyllmethyl}amino) hydroxypropyl] methyl-N3,N3-
dipropylisophthalamide,
Nl -«1 S,2R) (3,5-difluorobenzyl) hydroxy {[(4-isopropyl
pyrimidinyl)methyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
Nl -«1 S,2R)- 1 -(3,5-difluorobenzyl) {[(4-ethyl
pyrimidinyl)methyllwnino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalamide,

N1-«1 S,2R)- 1 -(3,5-difluorobenzyl) {[(5-ethyl
pyridazinyl)methyl]amino} hydroxypropyl) -methyl-N3,N3-
dipropylisophthalamide,
N3-«1 S,2R)- 1 -(3,5-difluorobenzyl)-3 - {[3-
(dimethylamino)benzyl]amino}
hydroxypropyl)-N5,N5-dipropyl-3,5-pyridinedicarboxamide,
N1-«1 S,2R) (3,5-difluorobenzyl) hydroxy {[(5-isopropyl
pyridazinyl)methyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
N3-«1 S,2R)-1 -(3,5-difluorobenzyl) hydroxy {[3-(I -
propynyl)benzyl]amino}propyl)-N5,N5-dipropyl-3,5-pyridinedicarboxamide,
N1-«1 S,2R)-1 -(3,5-difluorobenzyl) hydroxy {[(6-isopropyl
pyridazinyl)methyllamino}propyl) methyl-N3,N3-dipropylisophthalamide,
N3- {(1 S92R)- 1 -(3,5-difluorobenzyl)-3 -[(3-ethynylbenzyl)amino]
hydroxypropyl} - N5 N5 -dipropyl-3,5 -pyridinedicarboxamide,
N 1 - «1 S,2R)- 1 - (3,5 -difluorob enzyl) -3 - {[(6-ethyl
pyridazinyl)methyflamino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalamide,
N3- {(I S,2R)-I-(3,5-difluorobenzyl) hydroxy [(3-
isopropylbenzyl)amino]propyl} -N5,N5-dipropyl-3,5-pyridinedicarboxamide,
N1-«1 S,2R)- 1 -(3,5-difluorobenzyl) {[(6-ethyl
pyrazinyl)methyl]amino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalwnide,
N3- {(1 S,2R)-1 -(3,5-difluorobenzyl) [(3-etliylbenzyl)ainino]
hydroxypropyl}-N5,N5-dipropyl-3,5-pyridinedicarboxamide,
Nl -«1 S,2R) (3,5-difluorobenzyl) hydroxy {[(6-isopropyl
pyrazinyl)methyllamino}propyl) methyl-N3,N3-dipropylisophthalamide,
Nl-[(IS,2R) hydroxy [(3-methoxybenzyl)amino]-I-(3,4,5-
trifluorobenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
Nl -«1 S.2R) hydroxy- 1-(3,4,5-trifluorobenzyl)-3 - {[3-
(trifluoromethyl)benzyl]amino}propyl) methyl-N3,N3-
dipropylisophthalalnide,
Nl -«1 S,2R) hydroxy- 1 -(2,3,5,6-tetrafluorobenzyl) {[3 -
(trifluoromethyl)benzyllamino}propyl) methyl-N3,N3-
dipropylisophthalamide,
Nl -[(1 S,2R) hydroxy-3 -[(3-methoxybenzyl)ainino]- 1 -(2,3,5,6-
tetrafluorobenzyl}propyll methyl-N3,N3-dipropylisophthalamide,
NI-«1 S,2R)-1 -(3,5-difluorobenzyl) hydroxy ff(IR,2S) hydroxy
methoxy-2,3-dihydro-IH-inden yl]amino}propyl) methyl-N3,N3-
dipropylisophthalamide,
Nl -«1 S,2R)-1 -(3,5-difluorobenzyl) hydroxy ff(IR,2S) hydroxy
methoxy-2,3-dihydro-IH-inden yl]amino}propyl)-N3,N3-dipropyl-1,3,5-
benzenetricarboxarnide,
Nl -«1 S,2R)- 1 -(3,5-difluorobenzyl) {[(1R,2S) ethyl hydroxy-2,3-
dihydro-1H-inden yl]amino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalwnide,
N1-«I S.2R) (3,5-difluorobenzyl) {[(1R,2S) ethyl hydroxy-2,3-
dihydro- M-inden- 1 -yl]amino} hydroxypropyl)-N3,N3-dipropyl- 1,3,5-
benzenetricarboxarnide,
Nl - {(1 S,2R) hydroxy- 1 -(M-indol yhnethyl) [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl-[(IS,2R) [(3-ethylbenzyl)aininol hydroxy-l-(1H-indol
ylmethyl)propyl] methyl-N3,N3-dipropylisophthalamide,
Nl-[(1S,2R) hydroxy [(3-methoxybenzyl)amino] (3-
methylbenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
Nl-[(1S,2R) hydroxy [(3-methoxybenzyl)amino]-I-(3-
methylbenzyl)propyl]- N3,N3-dipropyl-1,3,5-benzenetricarboxwnide,
NI-{(1S,2R) hydroxy [(3-methoxybenzyl)amino]-I-[3-
(trifluoromethyl)benzyl]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl - ffl S,2R) hydroxy [(3-methoxybenzyl)amino]- 1 -[3-
(trifluoromethyl)benzyl]propyl}-N3,N3-dipropyl-1,3,5-
benzenetricarboxamide,
Nl-[(1S,2R) hydroxy [(3-methoxybenzyl)amino] (2-
pyridinyhnethyl)propyl] methyl-N3,N3-dipropylisophthalainide,

Nl -[(I S,2R) hydroxy [(3-methoxybenzyl)amino]- 1-(2-pyridinyhnethyl)propyl]- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-{(1S,2R) [3-fluoro (trifluoromethyl)benzyl] hydroxy [(3-methoxybenzyl)amino]propyl} Methyl-N3,N3-dipropylisophthalainide,
NI-{(1S,2R) [3-fluoro (trffluoromethyl)benzyl] hydroxy [(3-methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-{(1S,2R) hydroxy [(3-methoxybenzyl)amino] [3-(trffluoromethoxy)benzyl]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl-{(IS,2R) hydroxy [(3-methoxybenzyl)aminol-1-[3-(trifluoromethoxy)benzyl]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl- {(1S,2R) hydroxy-1-(3-hydroxybenzyl) [(3-methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl-{(1S,2R) hydroxy-1-(3-hydroxybenzyl) [(3-methoxybenzyl)aminolpropyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-[(1S,2R) hydroxy [(3-methoxybenzyl)amino] (4-methylbenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
Nl-[(1S,2R) hydroxy [(3-methoxybenzyl)wnino] (4-methylbenzyl)propyl]- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-{(1S,2-R) (4-fluoro methylbenzyl) hydroxy [(3-methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophtlialainide,
Nl-{(1S,2R) (4-fluoro methylbenzyl) hydroxy [(3-methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzelietricarboxamide,
Nl-{(1S,2R) (4-chlorobenzyl) hydroxy [(3-methoxybenzyl)ainino]propyl} methyl-N3,N3-dipropylisophthalamide,
NI - ffl S,2R)- 1 -(4-chlorobenzyl) hydroxy [(3-methoxybenzyl)amino]propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-{(IS,2R) hydroxy-1-(3-methoxybenzyl) [(3-methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
NI - ffl S,2R) hydroxy- 1 -(3-methoxybenzyl) [(3-methoxybenzyl)amino]propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
NI - ffl S,2R) hydroxy- 1 -(4-methoxybenzyl) [(3-methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophtlialamide,
NI - {(1 S,2R) hydroxy- 1-(4-methoxybenzyl) [(3-
1 0 methoxybenzyl)amino]propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-{(IS,2R) (3-chloro fluorobenzyl) hydroxy [(3-methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl-{(1S,2R) (3 chloro fluorobenzyl) hydroxy [(3-methoxybenzyl)ainino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-{(1S,2R) (4-chloro fluorobenzyl) hydroxy [(3-methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalarnide,
NI-{(1S,2R) (4-chloro fluorobenzyl) hydroxy [(3-methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxainide,
Nl-{(1S,2R) (3,5-dichlorobenzyl) hydroxy [(3-methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl-{(1S,2R) (3,5-dichlorobenzyl) hydroxy [(3-methoxybenzyl)amino]propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-{(1S,2R) [4-(dimethylamino)benzyll hydroxy [(3-methoxybenzyl)alnino]propyl} methyl-N3,N3-dipfopylisophthalamide,
Nl-{(1S,2R) [4-(dimethylamino)benzyl] hydroxy [(3-methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-{(IS,2R) (3-chlorobenzyl) hydroxy [(3-methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl - f(1 S,2R)-1 -(3-chlorobenzyl) hydroxy [(3-methoxybenzyl)ainino]propyl} Methyl-N3,N3-dipropylisophthalainide,
Nl - {(I S52R)- 1 -(3-fluorobenzyl) hydroxy [(3-methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl - {(1 S,2R)- 1 -(3-fluorobenzyl) hydroxy [(3-methoxybenzyl)ainino]propyl}- N3,N3-dipropyl-1,3;5-benzenetricarboxainide,
Nl-{(1S,2R) hydroxy-1-(4-isopropylbenzyl) [(3-methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,

N1-{(1S,2R) hydroxy-I-(4-isopropylbenzyl) [(3-
methoxybenzyl)amino]propyl}- N3,N3-dipropyl-1,3,5-
belizenetricarboxamide,
N1 - {(1 S,2R) hydroxy [(3-methloxyb enzyl)amino]-I-[(6-methoxy-2]-
pyridinyl)methyllpropyl} methyl-N3,N3-dipropylisophthalamide,
N1 - {(1 S,2R) hydroxy [(3-methoxybenzyl)ainino]- 1 -[(6-methoxy
pyridinyl)methyl]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxalnide,
1 5 N1 - {(I S,2R) hydroxy [(3-methoxybenzyl)alnino]-1 -[(5-methyl
pyridinyl)methyl]propyl} methyl-N3,N3-dipropylisophthalamide,
N1 - {(I S,2R) hydroxy [(3-methoxybenzyl)amino]- 1 -[(5-methyl
pyridinyl)methyl]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1 - ffl S.2R)- 1-(3-fluoro methylbenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1 - ffl S],2R)- 1 -(3-fluoro methylbenzyl) hydroxy [(3-
methoxybenzyl)alnino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1 - {(1 S52R)- 1 -(3-fluoro methoxybenzyl) hydroxy [(3-
methoxybenzyl)atnino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1 - {(I S,2R) (3-fluoro methoxybenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxalnide,
N1-[(1S,2R) hydroxy [(3-methoxybenzyl)alnino] (2-methoxy
methylbenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
N1-[(1S,2R) hydroxy [(3-methoxybenzyl)amino] (2-methoxy
methylbenzyl)propyl]-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1 -[(I S,2R) hydroxy [(3-methoxybenzyl)amino]- 1 -(1,3-thiazol
yhnethyl)propyl] methyl-N3,N3-dipropylisophthalainide,
N1 -[(1 S,2R) hydroxy [(3-methoxybenzyl)amino]-1 -(1,3-thiazol
ylmethyl)propyl]-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1 - ffl S,2R)- 1 -[(5-chloro thienyl)methyl] hydroxy [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophtlialamide,
N1 - ffl S,2R)- 1 -[(5-chloro thienyl)methyl] hydroxy [(3-
methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N- {(1 S,2R)- 1-(3 5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} hydroxy (,I-pyrrolidinylcarbonyl)benzamide,
N- {(1 S92R)- 1 (3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} methyl [(methylsulfonyl)amino]-1,3-thiazole carboxamide,
N- ffl S92R)- 1 -(3 5-difluorobenzyl)-3 -[(3 -ethylbenzyl)ainino]
hydroxypropyl} [(methylsulfonyl)amino]-1,3-oxazole carboxalnide,
N- {(1 S52R)- 1 (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(propylsulfonyl)amino]-1,3-thiazole carboxamide,
N- {(1 S,2R)- 1 (3 5-difluorobenzyl) hydroxy-3 -[(3-
methoxybenzyl)alnino]propyl} hydroxy (1-pyrrolidinylcarbonyl)benzamide,
N- {(1 S92R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} [(propylsulfonyl)amino]-1,3-thiazole
carboxamide,
N- {(1 S,2R)- 1 -benzyl [(3 -ethylbenzyl)amino] hydroxypropyl}
[(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-«IS,2R) (3,5-difluorobenzyl) {[I-(3-
ethylphenyl)cyclopropyl]ainino} hydroxypropyl) [(methylsulfonyl)aminol-
1,3-
oxazole carboxatnide,
N-«I S52R)- 1 -(3 5-difluorobenzyl) {[1 -(3-ethylphenyl)- 1 -
methylethyl]a-rnino} hydroxypropyl) hydroxy (1-
pyrrolidinylcarbonylfienzamide,
N-«I S,2R) (3 difluorobenzyl) ffl -(3-ethylphenyl)- 1 -
methylethyl]ainino} hydroxypropyl) [(methylsulfonyl)amino]-1,3-oxazole
carboxainide,
N- {(1 S,2R)- 1 -benzyl hydroxy [(3-methoxybenzyl)amino]propyl}
[(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-«1 S92R)- 1 -(3,5-difluorobenzyl) ffl -(3-ethylphenyl)- 1 -
methylethyl]amino} hydroxypropyl) methyl [(methylsulfonyl)amino]-1,3
oxazole carboxalnide,
N-«1 S,2R)-1 -(3,5-difluorobenzyl) ffl -(3-
ethylphenyl)cyclopropyl]ainino} hydroxypropyl) hydroxy (I-

pyrrolidinylcarbonyl)benzamide,
N- ffl S,2R)- 1 -(3,5-difluorobenzyl) [(3 -ethynylbenzyl)ainino]
hydroxypropyl} [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N- ffl S,2R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N- ffl S,2R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethynylbenzyl)amino]
hydroxypropyl} methyl [(methylsulfonyl)amino]- 1,3 -oxazole carboxamide,
N- {(1 S,2R)-1 -(3,5 -difluorobenzyl) hydroxy-3 -[(3-
methoxybenzyl)atnino]propyl} hydroxy (1-piperidinylearboliyl)benzamide,
N- {(1 S92R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3-
iodobenzyl)arninolpropyl} [(methylsulfoliyl)aminol-1,3-oxazole
carboxamide,
N- ffl S,2R)- 1 -benzyl hydroxy [(3-iodobenzyl)amino]propyl}
[(methylsulfonyl)ainino]-1,3-oxazole carboxamide,
N- ffl S52R)- 1 -(3,5-difluorobenzyl) hydroxy [(3 -
iodobenzyl)amino]propyl} methyl [(methylsulfonyl)amino]-1,3 oxazole
carboxamide,
N- ffl S,2R)- 1 -(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} hydroxy (1-piperidinylcarbonyl)benzamide,
N- ffl S52R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)ainino]
hydroxypropyl} [(methylsulfonyl)alnino]-1,3-oxazole carboxaniide,
N- ffl S,2R)- 1 -benzyl hydroxy [(3-iodobenzyl)amino]propyl} methyl-
2-[(methylsulfonyl)wnino]-1,3-oxazole carboxamide,
N- ffl S22R)- 1 -(3,5-difluorobenzyl)-3 -[(3-ethylbenzyl)amino]
hydroxypropyl} methyl [(methylsulfonyl)alnino]-1,3-oxazole
'ca,rboxamide,
N- {(1 S,2R)- 1 -(3 difluorobenzyl) [(3 -ethylbenzyl)ainino]
hydroxypropyl} hydroxy (4-morpholinylcarbonyl)benzamide,
N- {(I S,2R)-1 -(3 5-difluorobenzyl) [(3-ethylbenzyl)aminol
hydroxypropyl} [(ethylsulfonyl)alnino]-1,3-oxazole carboxamide,
N- {(1 S32R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3 -
iodobenzyl)amino]propyl} methyl [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N- {(1 S32R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3-
iodobenzyl)amino]propyl} [(ethylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(1 S52R)- 1 -(3 5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} hydroxy (4-morpholinylcarboliyl)benzamide,
N- {(I S32R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3 -
iodobenzyl)atnino]propyl} [(propylsulfonyl)ainino]-1,3-oxazole
carboxamide,
N- {(1 S92R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N- ffl S92R)- 1 -(3 5 -difluorobenzyl) hydroxy [(3-
iodobenzyl)atninolpropyl} [(methylsulfonyl)amino]-1,3-thiazole
carboxamide,
N- {(1 S.2R)-1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} hydroxy (1-piperazinylcarbonyl)benzamide,
N- {(1 S,2R)- 1 -(3,5-difluorobenzyl) [(3 -ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino]-1,3-thiazole carboxainide,
N- f(1 S,2R)-1 -(3,5-difluorobenzyl) [(3-ethylbenzyl)alnino]
hydroxypropyl} methyl [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(1 S,2R)-1 -(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino]- 1,3-oxazole carboxamide,
N- f (1 S.2R)- 1-(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} hydroxy-3 -(I -piperazinylcarbonyl)benzamide,
N- {(I S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)aminol
hydroxypropyl} methyl [(methylsulfonyl)ainino]-1,3-oxazole carboxamide,
N4- {(1 S,2R)- 1-(3,5-difluorobenzyl)-3 [(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)alnino]-1,3-oxazole-4,5-dicarboxamide,
N- ffl S92R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3 -
iodobenzyl)amino]propyl} [(methylsulfonyl)amino]-1,3-oxazole

carboxamide,
NI - {(1 S,2R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} hydroxy-N3-methylisophthalamide,
N- ffl S22R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} methyl [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N- {(1 S,2R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} [(ethylsulfonyl)amino]-1,3-oxazole carboxamide,
N- ffl S,2R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
NI - ft1 S,2R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} hydroxy-N3-methylisophthalamide,
N- ffl S.2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} methyl [(methylsulfonyl)amino]-1,3-oxazole-2
carboxamide,
N- ffl S52R)- 1 -(3 5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} [(ethylsulfonyl)amino]-1,3-oxazole
carboxamide,
N- {(I S92R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} methyl [(methylsulfonyl)a,tnino]-1,3-oxazole carboxamide,
NI - {(1 S,2R)-1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl}-N3-ethyl 4-hydroxyisophthalamide,
N- {(I S,2R)- 1 -(3 5-difluorobenzyl) [(3-ethylbenzyl)wnino]
hydroxypropyl} [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(I S 2R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3-
iodobenzyl)aminolpropyl} [(ethylsulfonyl)amino]-1,3-oxazole carboxamide,
N- ffl S32R)- 1 -(3 5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
Nl - ffl S,2R)- 1 -(3,5-difluorobenzyl) [(3 -ethylbenzyl)amino]
hydroxypropyl}-N3-ethyl hydroxyisophthalamide,
N- ffl S92R)- 1 -(3 5-difluorobenzyl) hydroxy-3 -[(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(propylsulfonyl)amino]-1,3-oxazole
carboxamide,
N- {(1 S52R)- 1 -(3 5-difluorobenzyl) hydroxy-3 -[(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)atnino] isoxazolecarboxamide,
Nl - {(1 S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl}-N3-ethyl hydroxyisophthalamide,
N- ffl S22R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
N- {(1 S,2R)- 1 -(3,5-difluorobenzyl) hydroxy [(3 -
methoxybenzyl)amino]propyl} [(propylsulfonyl)amino]-1,3-oxazole
carboxwnide,
N- ffl S,2R)-1 -(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} (hydroxymethyl) [(methylsulfonyl)amino]-1,3-
oxazole carboxamide,
N3-(cyclopropylmethyl)-Nl - {(1 S 2R)- 1 -(3,5-difluorobenzyl) hydroxy-3
-
[(3-iodobenzyl)amino]propyl} hydroxyisophthalamide,
5-cyclopropyl-N- {(1 S,2R)- 1 -(3,5-difluorobenzyl) hydroxy [(3 -
iodobenzyl)amino]propyl} [(methylsulfonyl)alninol-1,3-oxazole
carboxamide,
N- ffl S52R)- 1 -(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(propylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(1 S52R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)aminolpropyl} isopropyl [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N3-(cyclopropylmethyl)-Nl - ffl S52R)- 1 -(3,5-difluorobenzyl) [(3-
ethylbenzyl)amino] hydroxypropyl} hydroxyisophthalamide,
N-[(1S,2R)-I-(3,5-difluorobenzyl) hydroxy (isopentylamino)propyl]
[(methylsulfonyl)amino]-1,3-oxazole carboxainide,

N- {(1 S52R)- 1 -(3 5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} methyl [(propylsulfonyl)amino]-1,3-oxazole carboxamide,
N-[(1S,2R) (cyclopropylamino) (3,5-difluorobenzyl) hydroxypropyl]-
2-[(methylsulfonyl)aminol-1,3-oxazole carboxamide,
N-[(1 S,2R) [(3-ethylbenzyl)amino] hydroxy- 1 -(4-
hydroxybenzyl)propyl] [(methylsulfonyl)ainino]-1,3-oxazole carboxamide,
Nl - ffl S52R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)aminol
hydroxypropyl} hydroxy-N3-isobutylisophthalamide,
2- {[(cyclopropylmethyl)sulfonyllamino} -N- ffl S52R)- 1 -(335-
difluorobenzyl) [(3-ethylbenzyl)amino] hydroxypropyl}-1,3-oxazole
carboxamide,
Nl - {(1 S,2R)- 1 -(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} hydroxy- N3-isobutyl-N3-methylisophthalamide,
N- {(1 S,2R)- 1 -(3,5-difluorobenzyl)-3 -[(3-ethylbenzyl)ainino]
hydroxypropyl} [(isobutylsulfonyl)amino]-1,3-oxazole carboxamide,
N3-(cyclopropylmethyl)-Nl - {(I S,2R)- 1 -(3,5 -difluorobenzyl)-3 -[(3-
ethylbenzyl)amino] hydroxypropyl} hydroxy-N3-methylisophthalamide,
N- {(1 S,2R)-1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} [(isobutylsulfonyl)amino]-1,3-oxazole
carboxainide,
Nl - {(1 S32R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} hydroxy-N3-methyl-N3-propylisophthalamide,
N- f(1 S52R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)aininolpropyl} [(isobutylsulfoiiyl)aminol-1,3-oxazole
carboxamide,
Nl - {(1 S,2R)-1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} hydroxy-N3-methyl-N3-propylisophthalamide,
N- {(1 S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(phenylsulfonyl)amino]-1,3-oxazole
carboxamide,
Nl - ffl S,2R)- 1 -(3,5 -difluorobenzyl) hydroxy-3 -[(3-
methoxybenzyl)amino]propyl}-N3-ethyl hydroxy-N3-propylisophthalamide,
N-{(1S,2R)

lamide,
NI -«1 S,2R)- 1 -(3,5-difluorobenzyl)-3 - ff(4-ethyl
pyrimidinyl)methyl]ainino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalamide,
NI -«1 S,2R)-1 -(3,5-difluorobenzyl) {[(5-ethyl
pyridazinyl)methyl]amino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalainide,
N3-«1 S52R)- 1 -(3,5-difluorobenzyl)-3 - {[3-
(dimethylainino)benzyllamino}
hydroxypropyl)-N5,N5-dipropyl-3,5-pyridinedicarboxamide,
Nl-«1 S.2R)- 1-(3,5-difluorobenzyl) hydroxy {[(5-isopropyl
pyridazinyl)methyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
N3-«1 S,2R)- 1 -(3,5-difluorobenzyl) hydroxy-3 - {[3-(1 -
propynyl)benzyl]amino}propyl)-N5,N5-dipropyl-3,5-pyridinedicarboxamide,
NI -«1 S92R)- 1 -(3,5-difluorobenzyl) hydroxy {[(6-isopropyl
pyridazinyl)methyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
N3- {(1 S,2R)- 1-(3,5-difluorobenzyl) [(3-ethynylbenzyl)wnino]
hydroxypropyl}- N5,N5-dipropyl-3,5-pyridinedicarboxamide,
Nl-«1S,2R) (3,5-difluorobenzyl) {[(6-ethyl
pyridazinyl)methyl]amino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalamide,
N3- {(1 S,2R)- 1 -(3,5 -difluorobenzyl) hydroxy-3 - [(3 -
isopropylbenzyl)amino]propyl}-N5,N5-dipropyl-3,5-pyridinedicarboxamide,
Nl-«1 S.2R)-1 -(3,5-difluorobenzyl) {[(6-ethyl
pyrazinyl)methyl]alnino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalamide,
N3- ffl S,2R)- 1 -(3 5-difluorobenzyl)-3 -[(3 -ethylbenzyl)aminol
hydroxypropyl}-N5,N5-dipropyl-3,5-pyridinedicarboxamide,

N1-«1 S,2R)- 1-(3,5-difluorobenzyl) hydroxy {[(6-isopropyl pyrazinyl)methyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
NI-[(1S,2R) hydroxy [(3-methoxybenzyl)amino]-I-(3,4,5-trifluorobenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
NI -«1 S,2R) hydroxy- 1 -(3,4,5-trifluorobenzyl) {[3-(trifluoromethyl)benzyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
NI -«1 S,2R) hydroxy- 1 -(2,3,5,6-tetrafluorobenzyl)-3 - {[3 -(trifluoromethyl)benzyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
NI -[(1 S,2R) hydroxy [(3-methoxybenzyl)ainino]- 1 -(2,3,5,6-tetrafluorobenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
NI -«1 S,2R)- 1 -(3,5-difluorobenzyl) hydroxy-3 - ff(1R,2S) hydroxy
methoxy-2,3-dihydro-IH-inden yl]amino}propyl) methyl-N3,N3-dipropylisophthalainide,
NI -«1 S92R)- 1 -(3,5-difluorobenzyl) hydroxy-3 - ff(1R,2S) hydroxy
methoxy-2,3-dihydro-1H-inden yl]ainino}propyl)-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-«1 S,2]1)-1 -(3,5-difluorobenzyl) {[(1R,2S) ethyl hydroxy-2,3-dihydro- M-inden- 1 -yl] amino} -2 hydroxypropyl) methyl-N3,N3 -dipropylisophthalainide,
N1-«1 S,2R) (3,5-difluorobenzyl) {[(1R,2S) ethyl hydroxy-2,3-dihydro- 1 H-inden- 1 -yl]amino} hydroxypropyl)-N3,N3-dipropyl- 1,3,5-benzenetricarboxamide,
Nl- {(1 S,2R) hydroxy- P(M-indol yhnethyl) [(3-methoxybenzyl)ainino]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl -[(1 S,2R) [(3-ethylbenzyl)aminol hydroxy- 1 -(IH-indol ylmethyl)propyl] methyl-N3,N3-dipropylisophthalamide,
Nl-[(1S,2R) hydroxy [(3-methoxybenzyl)alnino] (3-methylbenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
Nl -[(1 S,2R) hydroxy [(3-methoxybenzyl)a-rnino]- 1 -(3 -methylbenzyl)propyl]- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-{(1S,2R) hydroxy [(3-methoxybenzyl)amino] [3-(trifluoromethyl)benzyl]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl-{(1S,2R) hydroxy [(3-methoxybenzyl)amino] [3-(trifluoromethyl)benzyl]propyl}-N3 dipropyl-1,3,5-benzenetricarboxamide,
,N3 - '
N 1 (1 S 5 2R) -2 -hydroxy- 3 - [(3 -methoxyb enzyl) ainino 1 - (2 -pyridinyhnethyl)propyl] methyl-N3,N3-dipropylisophthalamide,
Nl-[(1S,2R) hydroxy [(3-methoxybenzyl)amino] (2-pyridinyhnethyl)propyl]- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-{(IS,2R)-I-[3-fluoro (trifluoromethyl)benzyl] hydroxy [(3-methoxybenzyl)ainino]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl-{(1S,2R) [3-fluoro (trifluoromethyl)benzyl] hydroxy [(3-methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-{(1S,2R) hydroxy [(3-methoxybenzyl)wnino] [3-(trifluoromethoxy)benzyllpropyl} methyl-N3,N3-dipropylisophthalamide,
Nl- {(1 S,2R) hydroxy [(3-'methoxybenzyl)amino]-1 -[3-(trifluoromethoxy)benzyl]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
1 Nl - {(1 S,2R) hydroxy- 1 -(3-hydroxybenzyl) [(3-methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl - {(1 S,2R) hydroxy- 1 -(3-hydroxybenzyl) [(3-methoxybenzyl)amino]propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
Nl-[(1S,2R) hydroxy [(3-methoxybenzyl)amino] (4-methylbenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
Nl-[(1S,2R) hydroxy [(3-methoxybenzyl)ainino]-I-(4-methylbenzyl)propyl]- N3,N3-dipropyl-1,3,5-benzenetricarboxainide,
Nl-{(1S,2R) (4-fluoro methylbenzyl) hydroxy [(3-methoxybenzyl)wnino]propyl} methyl-N3,N3-dipropylisophthalamide,
Nl-{(1S,2R) (4-fluoro methylbenzyl) hydroxy [(3-

methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxainide,
N1-{(1S,2R) (4-chlorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyI-N3,N3-dipropylisophthalamide,
N1-{(1S,2R) (4-chlorobenzyl) hydroxy [(3-
methoxybenzyl)wnino]propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(1S,2R) hydroxy-1-(3-methoxybenzyl) [(3-
methoxybenzyl)aminolpropyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S,2R) hydroxy-1-(3-methoxybenzyl) [(3-
methoxybenzyl)amino]propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(1S,2R) hydroxy-1-(4-methoxybenzyl) [(3-
methoxybenzyl)ainino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S,2R) hydroxy-1-(4-methoxybenzyl) [(3-
methoxybenzyl)amino]propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
NI-{(1S,2R)-I-(3-chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S,2R) (3-chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(IS,2R) (4-chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)aminolpropyl} methyl-N3,N3-dipropylisophthalamide,
N1 - ffl S,2R)-1 -(4-chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)ainino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1 - ffl S32R)- 1 -(3,5-dichlorobenzyl) hydroxy-3 -[(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalainide,
N1 - ffl S]2R)- 1 -(3,5-dichlorobenzyl) hydroxy-3 -f(3-
methoxybenzyl)amino]propyl}- N3,N3-dipropyl 1,3,5-
benzenetricarboxalnide,
N1-{(1S,2R) [4-(dimethylamino)benzyl] hydroxy [(3-
methoxybenzyl)amino]pro' -N3
pyl} methyl N3-dipropylisophthalamide,
N1-{(1S,2R) [4-(dimethylainino)benzyl] hydroxy [(3-
methoxybenzyl)aminolpropyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxalnide,
N1-{(IS,2R) (3-chlorobenzyl) hydroxy [(3-
methoxybenzyl)ainino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-1(1S,2R) (3-chlorobenzyl) hydroxy [(3-
methoxybenzyl)wnino]propyl} methyl-N3,N3-dipropylisophthalainide,
N1-{(1S,2R) (3-fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S,2R) (3-fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(1S,2R) hydroxy-1-(4-isopropylbenzyl) [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S,2R) hydroxy-1-(4-isopropylbenzyl) [(3-
methoxybenzyl)amino]propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(1S,2R) hydroxy [(3-methoxybenzyl)ainino]-I-[(6-methoxy
pyridinyl)methyl]propyl} 5-methyl-N3,N3-dipropylisophthalainide,
N1-{(IS,2R) hydroxy [(3-methoxybenzyl)ainino] [(6-methoxy
pyridinyl)methyl]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxarnide,
N1-{(IS,2R) hydroxy [(3-methoxybenzyl)alnino]-I-[(5-m'ethyl
pyridinyl)methyl]propyl} methyl-N3,N3-dipropylisophthalamide,
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N- ffl S92R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3 -
methoxybenzyl)alnino]propyl} hydroxy (1-pyrrolidinylcarbonyl)benzamide,
N- {(1 S52R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} [(propylsulfonyl)amino]-1,3-thiazole
carboxamide,
N- {(1 S,2R)-1 -benzyl [(3-ethylbenzyl)amino] hydroxypropyl}
[(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-«1S,2R) (3,5-difluorobenzyl) {[1-(3-
ethylphenyl)cyclopropyl]amino} hydroxypropyl) [(methylsulfonyl)amino]-
1,3-
oxazole carboxwnide,
N-«1 S52R)- 1 -(3,5-difluorobenzyl)-3 - {[1 -(3-ethylphenyl)- 1 -

methylethyl]amino} hydroxypropyl) hydroxy (1-
pyrrolidinylcarbonyl)benzamide,
N-«1 S,2R)- 1 -(3,5-difluorobenzyl)-3 - {[1 -(3-ethylphenyl)- 1 -
methylethyl]atnino} hydroxypropyl) [(methylsulfonyl)amino]-1,3-oxazole
carboxarnide,
N- {(1 S,2R)- 1 -benzyl hydroxy [(3 -methoxybenzyl)amino]propyl}
[(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-«1 S.2R) (3,5-difluorobenzyl) {[1 -(3-ethylphenyl)- 1 -
methylethyl]amino} hydroxypropyl) methyl [(methylsulfoliyl)amino]-1,3-
oxazole carboxamide,
N-«1 S,2R) (3,5-difluorobelizyl) ffl -(3-
ethylphenyl)cyclopropyl]amino} hydroxypropyl) hydroxy (1-
pyrrolidinylcarbonyl)benzamide,
N- ffl S,2R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethynylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(1 S92R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3-
methoxybenzyl)amino]propyl} [(methylsulfonyl)aminol-1,3-oxazole
carboxamide,
N- {(1 S52R)- 1 -(3,5 -difluorobenzyl) [(3-ethynylbenzyl)alnino]
hydroxypropyl} methyl [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(1 S,2R)-1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)aminolpropyl} hydroxy (1-piperidinylcarbonyl)benzamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N-{(1S,2R)-1-benzyl hydroxy [(3-iodobenzyl)amino]propyl}
[(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} methyl [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)ainino]
hydroxypropyl} hydroxy (I-piperidinylcarbonyl)benzamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-f(IS,2R)-1-benzyl hydroxy [(3-iodobenzyl)amino]propyl} methyl-
2-[(methylsulfonyl)ainino]-1,3-oxazole carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} methyl [(methylsulfonyl)amino]-1,3-oxazole carboxainide,
N-{(1S,2R)-I-(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} hydroxy (4-morpholinylcarbonyl)benzamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(ethylsulfonyl)amino]-1,3-oxazole carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)wmino]propyl} methyl [(methylsulfonyl)ainino]-1,3-oxazole
carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(ethylsulfonyl)wnino]-1,3-oxazole carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} hydroxy (4-morpholinylcarbonyl)benzainide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(propylsulfonyl)amino]-1,3-oxazole
carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)alnino]-1,3-thiazole
carboxamide,
N-f(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)aininolpropyl} hydroxy (1-piperazinylearbonyl)benzamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydro xypropyl} [(methylsulfonyl)amino]-1,3-thiazole carboxamide,
N-{(1S52R) (315-difluorobenzyl) [(3-ethylbenzyl)ainino]-2

hydroxypropyl} methyl [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-{(IS,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-{(1S52R) (3,5-difluorobenzyl) [(3-ethylbenzyl)ainino]
hydroxypropyl} hydroxy (I-piperazinylcarbonyl)belizamide,
N-{(1S,2R)-I-(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} methyl [(methylsulfonyl)ainino]-1,3 -oxazole
carboxalnide,
N4-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)aminol
hydroxypropyl} [(methylsulfonyl)ainino]-1,3-oxazole-4,5-dicarboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
Nl-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)aminol
hydroxypropyl} hydroxy-N3-methylisophthalamide,
N-{(IS,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} methyl [(methylsulfonyl)a'mino]-1,3-oxazole
carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)ainino]
hydroxypropyl} [(ethylsulfonyl)amino]-1,3-oxazole carboxamide,
N-{(1S,2R)-l-(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)ainino]-1,3-oxazole
carboxamide,
Nl-{(1S,2R)-1 (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} hydroxy-N3-methylisophthalamide,
N-{(1S,2R)-I-(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)atnino]propyl} methyl [(methylsulfonyl)aininol-1,3-oxazole
carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} [(ethylsulfonyl)amino]-1,3-oxazole
carboxanude,
N- ffl S.2R)- 1-(3,5-difluorobenzyl) [(3-ethylbenzyl)a-rnino]
hydroxypropyl} methyl [(methylsulfonyl)wnino]-1,3-oxazole carboxamide,
Nl - ffl S,2R)- 1 -(3,5-difluorobenzyl) hydroxy [(3 -
methoxybenzyl)amino]propyl}-N3-ethyl hydroxyisophthalamide,
N- {(1 S22R)- 1 -(3 5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino]- 1,3-oxazole carboxainide,
N- {(1 S52R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(ethylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(1 S92R)- 1 -(3 5-difluorobenzyl) [(3 -ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
Nl - ffl S,2R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl}-N3-ethyl hydroxyisophthalamide,
N- {(1 S.2R)- 1-(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
N- ffl S,2R)-1 -(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(propylsulfonyl)amino]-1,3-oxazole
carboxamide,
N- ffl S,2R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
NI-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl}-N3-ethyl hydroxyisoplithalamide,
N- {(1 S52R)- 1 -(3,5-difluorobenzyl) 3-[(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
N- {(1 S,2R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3-
methoxybenzyl)amino]propyl} [(propylsulfonyl)amino]-1,3-oxazole
carbomnide,
N- {(1 S 2R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} (hydroxymethyl) [(methylsulfonyl)amino]-1,3-
oxazole carboxamide,
N3-(cyclopropyhnethyl)-Nl - {(1 S,2R)- 1-(3,5-difluorobenzyl) hydroxy-3
-
[(3-iodobenzyl)amino]propyl} hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-iodobenzyl)alnino]propyl} [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(1 S92R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -cthylbenzyl)amino] hydroxypropyl} [(propylsulfonyl)amino]-1,3-oxazole carboxamide,
N- ffl S52R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-iodobenzyl)amino]propyl} isopropyl [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N3-(cyclopropyhnethyl)-NI - ffl S,2R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)aminol hydroxypropyl} hydroxyisophthalamide,
N-[(1 S,2R)- 1 -(315-difluorobenzyl) hydroxy (isopentylamino)propyl] [(methylsulfonyl)aminol-1,3-oxazole carboxamide,
N- {(1 S,2R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino] hydroxypropyl} methyl [(propylsulfonyl)amino]-1,3-oxazole carboxamide,
N-[(1S,2R) (cyclopropylamino)-I-(3,5-difluorobenzyl) hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-[(1 S,2R) [(3-ethylbenzyl)amino] hydroxy- 1 -(4-hydroxybenzyl)propyl] [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
Nl - ffl S,2R)- 1 -(3,5-difluorobenzyl) [(3 -ethylbenzyl)amino] hydroxypropyl} hydroxy-N3-isobutylisophthalamide,
2- {[(cyclopropylmethyl)sulfonyllamino} -N- {(I S,2R)- 1 -(3,5-difluorobenzyl) [(3-ethylbenzyl)wnino] hydroxypropyl}-1,3-oxazole carboxamide,
Nl- {(1 S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino] hydroxypropyl} hydroxy- N3-isobutyl-N3-methylisophthalainide,
N- {(I S,2R)- P(3,5-difluorobenzyl)-3 -[(3-ethylbenzyl)ainino] hydroxypropyl} [(isobutylsulfonyl)amino]-1,3-oxazole carboxwnide,
N3-(cyclopropylmethyl)-Nl - {(1 S52R)- 1 -(3,5 -difluorobenzyl)-3 -[(3 -ethylbenzyl)alninol hydroxypropyl} hydroxy-N3-methylisophthalwnide,
N- {(1 S,2R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3-methoxybenzyl)amino]propyl}-2 [(isobutylsulfonyl)arpino]-1,3-oxazole carboxamide,
Nl - ffl S,2R)- 1-(3,5-difluorobenzyl) [(3-ethylbenzyl)amino] hydroxypropyl} hydroxy-N3-methyl-N3-propylisophthalamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-iodobenzyl)amino]propyl} [(isobutylsulfonyl)amino]-1,3-oxazole carboxamide,
Nl-{(IS,2R) (3,5-difluorobenzyl) hydroxy [(3-methoxybenzyl)amino]propyl} hydroxy-N3-methyl-N3-propylisophtlialamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-iodobenzyl)amino]propyl} [(phenylsulfonyl)amino]-1,3-oxazole carboxalnide,
Nl-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-methoxybenzyl)amino]propyl}-N3-ethyl hydroxy-N3-propylisophthalamide,
N-{(1S,2R)-I-(3,5-difluorobenzyl) hydroxy [(3-iodobenzyl)amino]propyl} {[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole carbomnide,
Nl-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino] hydroxypropyl}-N3-ethyl hydroxy-N3-propylisophthalamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)wnino] hydroxypropyl} {[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino] hydroxypropyl} [(phenylsulfonyl)amino]-1,3-oxazole carboxamide,
Nl-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)aininol hydroxypropyl} hydroxy-N3, N3-dipropylisophthalamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)aminol hydroxypropyl} [methyl(methylsulfonyl)aminol-1,3-oxazole carboxamide,
Nl-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-methoxybenzyl)ainino]propyl} hydroxy-N3, N3-dipropylisophthalamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-iodobenzyl)amino]propyl} [methyl(methylsulfonyl)aininol-1,3-oxazole carboxamide,

N1-«1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} hydroxy-N3, N3-dipropylisophthalainide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino]-1,3-thiazole
carboxamide,
N-{(IS,2R)-I-(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino]-1,3-thiazole carboxamide,
WO 02/02512 PCT/USO1/21012
641
N- {(1 S92R)- 1 -(3 difluorobenzyl)-3 -[(3-ethylbenzyl)ainino]
hydroxypropyl} {[(1 -methyl- 1H-imidazol yl)sulfonyl]alnino}benzamide,
N- ffl S,2R)- 1 -(3 5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} ({[5-(trifluoromethyl)pyridin
yl]sulfonyl}alnino)benzamide,
3- {[(5-cyanopyridin yl)sulfonyl]ainino} -N- {(1 S,2R)- 1-(3 55-
difluorobenzyl) [(3-ethylbenzyl)amino] hydroxypropyl}benzarnide,
N- {(1 S,2R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -cthylbenzyl)amino]
hydroxypropyl} [(phenylsulfonyl)amino]benzamide,
N-{(1S52R) (395-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino]benzamide,
N- {(1 S,2R)- 1 -(3 5-difluorobenzyl)-3 -[(3-ethylbenzyl)amino]
hydroxypropyl} [(ethylsulfonyl)amino]benzainide,
N- {(1 S52R)- 1 -(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(propylsulfonyl)amino]benzamide,
N- ffl S52R)- 1 -(3,5-difluorobenzyl) [(3 -ethylbenzyl)amino]
hydroxypropyl} [(isobutylsulfonyl)amino]benzainide,
N- {(1 S52R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)ainino]
hydroxypropyl} [(isopropylsulfonyl)ainino]benzamide,
N- {(1 S,2R)- 1 -(3 5-difluorobenzyl)-3 -[(3-ethylbenzyl)amino]
hydroxypropyl} {[(1 -ethylpropyl)sulfonyl]amino}benzamide,
3-[(cyclohexylsulfonyl)amino]-N- {(1 8,2R)- 1 -(3,5 -difluorobenzyl)
[(3-
ethylbenzyl)amino] hydroxypropyl}benzwnide,
N- {(1 S,2R)- 1 -(3 5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} {[(1 -propylbutyl)sulfonyl]wnino}benzainide,
N-

{(1 S,2R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} [(thien ylsulfonyl)amino]benzamide,
N- f(1 S52R)- 1 -(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(2-furylsulfonyl)aminolbenzamide,
N- {(1 S,2R)- P(3,5-difluorobenzyl) [(3 -ethylbenzyl)amino]
hydroxypropyl} [(isoxazol ylsulfonyl)amino]benzamide,
N- {(1 S52R)- 1 -(3,5-difluorobenzyl)-3 -[(3-ethylbenzyl)amino]
hydroxypropyl} [(isoxazol ylsulfonyl)amino]benzamide,
N- ffl S,2R)- 1 -(3 5-difluorobenzyl)-3 -[(3 -ethylbenzyl)=ino]
hydroxypropyl} [(3-furylsulfonyl)amino]benzamide,
N- ffl S,2R)- 1 -(3 .5 -difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} [(thien ylsulfonyl)amino]benzamide,
N- {(1 S52R)- 1 -(3 difluorobenzyl) [(3 -ethylbenzyl)amino]
hydroxypropyl} [(1,3-thiazol ylsulfonyl)amino]benzamide,
N- ffl S,2R)- 1 -(3 difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} [(1,3-thiazol ylsulfonyl)amino]benzamide,
N- ffl S52R)- 1 -(3 difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} [(1,3-thiazol ylsulfonyl)ainino]benzamide,
N'-[(I S,2R)- 1 -(3,5-difluorobenzyl)-2 hydroxy (isopentylamino)propyl]-
N 3 W-dipropyl {[(trifluoromethyl)sulfonyl] amino} isophthalamide,
N'-[(1S,2R) ainino-I-(3,5-difluorobenzyl) hydroxypropyll_N3, N 3_
dipropyl {[(trifluoromethyl)sulfonyl]amino} isophthalainide,
N'-[(1S,2R) amino-1-(3,5-difluorobenzyl) hydroxypropyl]
[(methylsulfonyl)aminol-N3,N 3-dipropylisophthalamide,
N'-[(1 S52R)- 1 -(3,5-difluorobenzyl) hydroxy-3 -(¡

sopentylamino)propyl]
[(methylsulfonyl)ainino]-N 3N3-dipropylisophthalamide,
N' -(tert-butyl)-N3- ffl S,2R)- 1 -(3,5-difluorobenzyl) [(3-
ethylbenzyl)atnino] hydroxypropyl}isophthalamide,
N'-(tert-butyl)-N3- {(1 S,2R)-1 -(3,5-difluorobenzyl) [(3-
ethylbenzyl)atnino] hydroxypropyl} methylisophthalamide,
5-bromo-N1 -(tert-butyl)-N3- {(1 S,2R)- 1 -(3,5-difluorobenzyl) [(3 -
ethylbenzyl)aminol hydroxypropyl}isophthalainide,
3-tert-butoxy-N- {(I S,2R)- 1 -(3,5 -difluorobenzyl)
[(3-ethylbenzyl)amino']-
2-hydroxypropyl}benzamide,
3-tert-butoxy-N- {(I S,2R)- 1 -(3,5-difluorobenzyl) [(3-
ethylbenzyl)alnino]-
2-hydroxypropyl} methylbenzamide,
1 N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} {[(trifluorom]thyl)sulfonyl]amino}benzamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)ainino]
hydroxypropyl} (trifluoromethoxy)benzamide, and
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)wnino]
hydroxypropyl} methyl (trifluoromethoxy)benzamide.
218. A method for inhibiting beta-secretase activity, comprising
exposing said beta-
secretase to an effective inhibitory amount of a compound of formula (X)
OH
RN
N CH NH
H c] RC
R, R2 R3
where Rl, R2, R3, RN and Rc are as defined in claim. 1,
or a pharmaceutically acceptable salt thereof
219. The method of claim, 218, wherein said beta-secretase is exposed to
said
compound in vitro.
220. The method of claim. 218, wherein said beta-secretase is exposed to
said
compound in a cell.
221. The method of claim 220, wherein said cell is in an animal.
222. The method of claim 22 1, wherein said animal is a human.
223. A method for inhibiting cleavage of amyloid precursor protein
(APP), in a
reaction mixture, at a site between Met596 and Asp597, numbered for the
APP-695
amino acid isotype; or at a corresponding site of an isotype or mutant
thereof,
comprising exposing said reaction mixture to an effective inhibitory
amount of a
compound of formula (X)
OH
RN
N CH NH
H c] RC
R, R2 R3
where RI, R2, R3, RN and Rc are as defined in claim. 1,
or a pharmaceutically acceptable salt thereof
224. The method of claim 223, whercin said cleavage site is between
Met652 and
Asp653, numbered for the APP-751 isotype; between Met 671 and Asp 672,
numbered for the APP-770 isotype; between Leu596 and Asp597 of the
APP-695
Swedish Mutation; between Leu652 and Asp653 of the APP-751 Swedish
Mutation; or between Leu671 and Asp672 of the APP-770 Swedish Mutation.
225. The method of claim 223, wherein said reaction mixture is exposed
in vitro.

226. The method of claim. 223, whercin said reaction mixture is exposed in a cell.
227. The method of claim 226, wherein said cell is an animal cell.
1 5
228. The method of claim 227, wherein said cell is a human cell.
229. A method for inhibiting production of arnyloid beta peptide (A beta) in a cell,
comprising administering to said cell an effective inhibitory amount of a compound
of formula (X)
OH
RN
N CH NH
H/ d Rc
P, R2 R3
where Rl, R2, R3, RN and Rc are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.
230. The method of claim 229, wherein, said administering is to an animal.
23 1. The method of claim 230, whercin said administering is to a human.
232. A method for inhibiting the production of beta-amyloid plaque in an animal,
comprising administering to said animal an effective inhibitory amount of a
compound of formula (X)
OH
RN
N CH NH
H C H c Rc
1 R2 R3
where RI, R2, R3, RN and Rc are as defined in claim. 1,
or a pharmaceutically acceptable salt thereof
0 233. The method of claim. 232, wherein said animal is a human.
234. A method for treating or preventing a disease characterized by beta-amyloid
deposits in the brain comprising administering to a patient an effective therapeutic
amount of a compound of forinula (X) '
OH
RN
N CH NH
H c] Rc
R, R2 R3
where Ri, R2, R3, RN and Rc are as defined in claim 1,
or a pharmaceutically acceptable salt thereof
235. The method of claim. 234, whercin said therapeutic amount is in the range of
from about 0. 1 to about 1 000 mg/day.
236. The method of claim. 234, wherein said thercapeutic amount is in the range of
from about 15 to about 1500 mg/day.
. The method of claim 237, wherein said thereapeutic amount is in the range of
5 from about 5 to about 50 mg/day.
239. The method of claim 234, wherein said discase is Alzheimer's disease.
240. The method of claim 234, wherein said discase is Mild Cognitive Impainuent,
Down's Syndrome, or Hereditary Cerebral Heinmorrhage with Amyloidosis of the
Dutch Type.
241. A composition comprising beta-secretase complexed with a compound of

fonnula (X)
OH
RN
N CH NH
H C H c RC
1 5 1 R2 R3
where RI, R2, R3, RN and Rc are as defined in claim 1,
or a phannaceutically acceptable salt thereof.
242. A method for producing a beta-secretase complex comprising:
exposing beta-
secretase, in a reaction mixWre under conditions suitable for the
production of said
complex, to a compound of fonnula (X)
OH
RN
N CH NH
\/ %]]]]1/ \(X)
H CH c Rc
P, R2 R3
where Rl, R2, R3, RN and Rc are as defined in claim 1,
or a phannaceutically acceptable salt thereof
243. The method of claim 242, where said exposing ¡S in vitro.
244. The method of claim 242, wherein said reaction mixture is a cell.
245. A kit comprising component parts capable of being assembled,
wherein. at least
one component part comprises, enclosed in a container, a compound of
formula (X)
OH
RN
N CH NH
H C C RC
R, R2 R3
where Rl, R2, R3, RN and Rc are as defined in claim 1,
or a pharmaceutically acceptable salt thereof
246. The kit of claim 245, wherein said compound is lyophilized and at
least one
Rirther component part comprises a diluent.
1 5
247. A kit comprising a plurality of containers, each container
comprising one or
more unit dose of a compound of formula (X)
OH
RN
N CH NH
H C C RC
1 R2 R3
where Rl, R2, R3, RN and RC are as defined in claim. 1,
or a pharmaceutically acceptable salt thereof.
248. The kit of claim 247, wherein each container is adapted for oral
delivery and
comprises a tablet, gel, or capsule.
249. The kit of claim 248, wherein each container is adapted for
parenternal
delivery and comprises a depot product, syringe, ampoule, or vial.
250. The kit of claim 248, wherein each container is adapted for topical
delivery
and comprises a patch, medipad, ointment, or cream.
25 1. A kit comprising one or more therapeutic agent selected from the
group
consisting of an antioxidant, an anti-inflamatory, a gamma secretase
inhibitor, a
neurotrophic agent, an acetylcholinesterase inhibitor, a
statin, an A beta peptide,

0 and an anti-A beta antibody; and
a compound of formula (X)
OH
RN
N CH NH
H C C R C
R, R2 R3
where Rl, R2, R3, RN and RC are as defined in claim 1,
5 or a pharmaceutically acceptable salt thereof
252. A composition comprising an inert diluent or edible carrier; and
a compound of fonnula (X)
0 H
R N
N C H N H
H C C RC
R, R2 R3
where Ri, R2, R3, RN and Rc are as defined in claim 1,
or a pharmaceutically acceptable salt thereof
253. The composition of claim 252. wherein said carrier is an oil.
254. A composition comprising a binder, excipient, disintegrating agent,
lubricant,
or gildant; and
a compound of fonnula (X)
OH
RN
N CH NH
H c] Rc
P, R2 R3
where RI, R2, R3, RN and Rc are as defined in claim 1,
or a phannaceutically acceptable salt thereof.
255. A composition comprising a compound of fon-nula (X)
OH
RN
N CH NH
H c c Rc
R, R2 R3
where RI, R2, R3, RN and Rc are as defined in claim 1,
or a phannaceutically acceptable salt thereof,
and where the compound is disposed in a cream, ointment, or patch.

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=> s (aminosalicylic acid) and (BDNF or neurotrophic or neurotrophin#)
L12         247 (AMINOSALICYLIC ACID) AND (BDNF OR NEUROTROPHIC OR NEUROTROPHIN#
                )

=> s (aminosalicylic acid) (240A) (BDNF or neurotrophic or neurotrophin#)
L13           2 (AMINOSALICYLIC ACID) (240A) (BDNF OR NEUROTROPHIC OR NEUROTROPH
                IN#)

=> D 1-2

L13  ANSWER 1 OF 2  USPATFULL on STN
AN      2006:160063  USPATFULL
TI      Method for inhibition of necrosis induced by neurotrophin
IN      Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
        Yoon, Sung-Hwa, Suwon-si, JAPAN
        Kim, Sun-Hee, Suwon-si, JAPAN
        Won, Seok-Joon, Suwon-si, JAPAN
PI      US 2006135600        A1  20060622
AI      US 2004-542936       A1  20040120 (10)
        WO 2004-KR119            20040120
                                 20050719  PCT 371 date
PRAI    KR 2003-3765         20030120
DT      Utility
FS      APPLICATION
LN.CNT 919
INCL    INCLM: 514/458.000
NCL     NCLM:  514/458.000
IC      IPCI   A61K0031-355 [I,A]; A61K0031-352 [I,C*]
        IPCR   A61K0031-352 [I,C]; A61K0031-355 [I,A]; A61K0031-60 [I,C*];
               A61K0031-60 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13     ANSWER 2 OF 2      PCTFULL   COPYRIGHT 2008 Univentio on STN
AN      2004064844 PCTFULL  ED 20040816  EW 200432
TIEN    METHOD FOR INHIBITION OF NECROSIS INDUCED BY NEUROTROPHIN
TIFR    METHODE D'INHIBITION DE NECROSE INDUITE PAR LA NEUROTROPHINE
IN      YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-810, KR [KR, KR];
        KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-762, KR [KR, KR];
        WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-070, KR [KR, KR];
        GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
        Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
PA      NEUROTECH CO., LTD., 29-35, Woncheon-dong, Paldal-gu, Suwon-si,
        Gyeonggi-do_442-821, KR [KR, KR], for all designates States except US;
        YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-810, KR [KR, KR], for US only;
        KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-762, KR [KR, KR], for US only;
        WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
        Suwon-si, Gyeonggi-do_442-070, KR [KR, KR], for US only;
        GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
        Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
AG      LEE, Sang-Yong, 4F., Byukcheon Bldg., 1597-5, Seocho-dong, Seocho-gu,
        Seoul_137-876, KR
LAF     Korean
LA      English
DT      Patent
PI      WO 2004064844         A1 20040805
DS      W:              AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR
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                    CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID
                    IL IN IS JP KE KG KP KZ LC LK LR LS LT LU LV MA MD MG MK
                    MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO RU SC SD SE SG
                    SK SL SY TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW
        W-U:        AE AL AM AT AU AZ BG BR BY BZ CN CO CR CZ DE DK EC EE ES
                    FI GE GH HU JP KE KG KP KZ LS MD MX MZ NI PH PL PT RU SK
                    SL TJ TR TT UA UG UZ VN YU
        RW (ARIPO):   BW GH GM KE LS MW MZ SD SL SZ TZ UG ZM ZW
        RW-U (ARIPO): BW GH GM KE LS MW MZ SD SL SZ TZ UG ZM ZW
        RW (EAPO):    AM AZ BY KG KZ MD RU TJ TM
        RW (EPO):     AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU MC
                    NL PT RO SE SI SK TR
        RW (OAPI):    BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
        RW-U (OAPI):  BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
PRAI    KR 2003-10-2003-0003765 20030120
AI      WO 2004-KR119        A  20040120
ICM     A61K031-60


=> S L12 and (BAS or TBAS or CBAS or MBAS or FBAS or TTBA)
L14           8 L12 AND (BAS OR TBAS OR CBAS OR MBAS OR FBAS OR TTBA)

=> duplicate remove
ENTER L# LIST OR (END):l14
DUPLICATE PREFERENCE IS 'USPATFULL, PCTFULL'
KEEP DUPLICATES FROM MORE THAN ONE FILE?  Y/(N):n
PROCESSING COMPLETED FOR L14
L15            8 DUPLICATE REMOVE L14 (0 DUPLICATES REMOVED)

=> d 1-8

L15  ANSWER 1 OF 8  USPATFULL on STN
AN      2007:341133  USPATFULL
TI      Compounds and compositions for treating neuronal death or neurological
        dysfunction
IN      Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
        Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
        Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
        Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
        Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
        Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
        Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
        Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
        Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
        Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
        Ko, Sun Young, Suwon-si, KOREA, REPUBLIC OF
        Son, Sun Joo, Suwon-si, KOREA, REPUBLIC OF
        Park, Sun Mi, Seoul, KOREA, REPUBLIC OF
PA      Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF,
        443-821 (non-U.S. corporation)
PI      US 20070298129       A1  20071227
AI      US 2007-804588       A1  20070518 (11)
RLI     Continuation-in-part of Ser. No. US 2006-503379, filed on 11 Aug 2006,
        ABANDONED
PRAI    KR 2005-78028        20050824
        US 2006-780245P      20060308 (60)
DT      Utility
FS      APPLICATION
LN.CNT 2465
INCL    INCLM: 424/722.000
        INCLS: 514/567.000; 562/453.000
NCL     NCLM:  424/722.000
        NCLS:  514/567.000; 562/453.000
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IC IPCI A61K0033-00 [I,A]; A61K0031-196 [I,A]; A61K0031-185 [I,C*];
A61P0025-00 [I,A]; A61P0025-16 [I,A]; A61P0025-28 [I,A];
C07C0229-56 [I,A]; C07C0229-00 [I,C*]
IPCR A61K0033-00 [I,C]; A61K0033-00 [I,A]; A61K0031-185 [I,C];
A61K0031-196 [I,A]; A61P0025-00 [I,C]; A61P0025-00 [I,A];
A61P0025-16 [I,A]; A61P0025-28 [I,A]; C07C0229-00 [I,C];
C07C0229-56 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 2 OF 8 USPATFULL on STN
AN 2007:56619 USPATFULL
TI Combination of cell necrosis inhibitor and lithium for treating neuronal death or neurological dysfunction
IN Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
PA Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF (non-U.S. corporation)
PI US 20070049565 A1 20070301
AI US 2006-503379 A1 20060811 (11)
PRAI KR 2005-78028 20050824
US 2006-780245P 20060308 (60)
DT Utility
FS APPLICATION
LN.CNT 1284
INCL INCLM: 514/159.000
INCLS: 514/534.000; 514/649.000; 514/567.000
NCL NCLM: 514/159.000
NCLS: 514/534.000; 514/567.000; 514/649.000
IC IPCI A61K0031-60 [I,A]; A61K0031-195 [I,A]; A61K0031-185 [I,C*];
A61K0031-24 [I,A]; A61K0031-21 [I,C*]; A61K0031-137 [I,A]
IPCR A61K0031-60 [I,C]; A61K0031-60 [I,A]; A61K0031-137 [I,C];
A61K0031-137 [I,A]; A61K0031-185 [I,C]; A61K0031-195 [I,A];
A61K0031-21 [I,C]; A61K0031-24 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 3 OF 8 USPATFULL on STN
AN 2006:160063 USPATFULL
TI Method for inhibition of necrosis induced by neurotrophin
IN Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
Yoon, Sung-Hwa, Suwon-si, JAPAN
Kim, Sun-Hee, Suwon-si, JAPAN
Won, Seok-Joon, Suwon-si, JAPAN
PI US 2006135600 A1 20060622
AI US 2004-542936 A1 20040120 (10)
WO 2004-KR119 20040120
20050719 PCT 371 date
PRAI KR 2003-3765 20030120
DT Utility
FS APPLICATION
LN.CNT 919
INCL INCLM: 514/458.000
NCL NCLM: 514/458.000
IC IPCI A61K0031-355 [I,A]; A61K0031-352 [I,C*]
IPCR A61K0031-352 [I,C]; A61K0031-355 [I,A]; A61K0031-60 [I,C*];
A61K0031-60 [I,A]

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 4 OF 8 USPATFULL on STN
AN 2005:275264 USPATFULL
TI Spirocyclic amides as cannabinoid receptor modulators
IN Hagmann, William K., Westfield, NJ, UNITED STATES
Lin, Linus S., Westfield, NJ, UNITED STATES
Shah, Shrenik K., Metuchen, NJ, UNITED STATES
Goulet, Mark T., Westfield, NJ, UNITED STATES
Jewell, James P., Jersey City, NJ, UNITED STATES
PA Merck & Co., Inc., Rahway, NJ, UNITED STATES, 07065-0907 (U.S. corporation)
PI US 20050239828 A1 20051027
AI US 2003-507864 A1 20030321 (10)
WO 2003-US8722 20030321
20040916 PCT 371 date
PRAI US 2002-367655P 20020326 (60)
DT Utility
FS APPLICATION
LN.CNT 6084
INCL INCLM: 514/317.000
INCLS: 514/318.000; 514/617.000; 514/357.000; 514/424.000; 546/194.000; 546/233.000; 546/336.000; 548/543.000
NCL NCLM: 514/317.000
NCLS: 514/318.000; 514/357.000; 514/424.000; 514/617.000; 546/194.000; 546/233.000; 546/336.000; 548/543.000
IC [7]
ICM A61K031-4545
ICS A61K031-445; A61K031-44; A61K031-4015; A61K031-165; C07D041-02
IPCI A61K0031-4545 [ICM,7]; A61K0031-4523 [ICM,7,C*]; A61K0031-445 [ICS,7]; A61K0031-44 [ICS,7]; A61K0031-4015 [ICS,7]; A61K0031-165 [ICS,7]; C07D0041-02 [ICS,7]
IPCR A61K0031-165 [I,C*]; A61K0031-165 [I,A]; A61K0031-4015 [I,C*]; A61K0031-4015 [I,A]; A61K0031-44 [I,C*]; A61K0031-44 [I,A]; A61K0031-445 [I,C*]; A61K0031-445 [I,A]; A61K0031-4523 [I,C*]; A61K0031-4545 [I,A]; C07D0205-00 [I,C*]; C07D0205-04 [I,A]; C07D0207-00 [I,C*]; C07D0207-16 [I,A]; C07D0207-38 [I,A]; C07D0211-00 [I,C*]; C07D0211-60 [I,A]; C07D0211-62 [I,A]; C07D0213-00 [I,C*]; C07D0213-56 [I,A]; C07D0265-00 [I,C*]; C07D0265-30 [I,A]; C07D0307-00 [I,C*]; C07D0307-24 [I,A]; C07D0309-00 [I,C*]; C07D0309-08 [I,A]; C07D0401-00 [I,C*]; C07D0401-04 [I,A]

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 5 OF 8 USPATFULL on STN
AN 2005:268741 USPATFULL
TI Substituted amides
IN Hagmann, William K., Westfield, NJ, UNITED STATES
Lin, Linus S., Westfield, NJ, UNITED STATES
Shah, Shrenik K., Metuchen, NJ, UNITED STATES
Guthikonda, Ravindra N., Edison, NJ, UNITED STATES
Qi, Hongbo, Edison, NJ, UNITED STATES
Chang, Linda L., Wayne, NJ, UNITED STATES
Liu, Ping, Edison, NJ, UNITED STATES
Armstrong, Helen M., Westfield, NJ, UNITED STATES
Jewell, James P., Jersey City, NJ, UNITED STATES
Lanza, Thomas J. JR., Edison, NJ, UNITED STATES
PI US 20050234061 A1 20051020
AI US 2005-109076 A1 20050419 (11)
RLI Division of Ser. No. US 2003-387265, filed on 12 Mar 2003, PENDING
PRAI US 2002-428351P 20021122 (60)
US 2002-363597P 20020312 (60)
DT Utility

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FS      APPLICATION
LN.CNT 9493
INCL    INCLM: 514/248.000
        INCLS: 514/367.000; 514/375.000; 514/423.000; 514/419.000; 514/617.000;
               514/383.000; 544/237.000; 548/152.000; 548/217.000; 548/495.000;
               548/537.000
NCL     NCLM:  514/248.000
        NCLS:  514/367.000; 514/375.000; 514/383.000; 514/419.000; 514/423.000;
               514/617.000; 544/237.000; 548/152.000; 548/217.000; 548/495.000;
               548/537.000
IC      [7]
        ICM    A61K031-502
        ICS    A61K031-428; A61K031-423; A61K031-4196; A61K031-405; A61K031-401;
               A61K031-165
        IPCI   A61K0031-502 [ICM,7]; A61K0031-428 [ICS,7]; A61K0031-423 [ICS,7];
               A61K0031-4196 [ICS,7]; A61K0031-405 [ICS,7]; A61K0031-403
               [ICS,7,C*]; A61K0031-401 [ICS,7]; A61K0031-165 [ICS,7]
        IPCR   C07C0233-00 [I,C*]; C07C0233-13 [I,A]; C07C0235-00 [I,C*];
               C07C0235-06 [I,A]; C07C0235-20 [I,A]; C07C0235-34 [I,A];
               C07C0235-74 [I,A]; C07C0235-78 [I,A]; C07C0237-00 [I,C*];
               C07C0237-06 [I,A]; C07C0255-00 [I,C*]; C07C0255-55 [I,A];
               C07C0255-60 [I,A]; C07C0271-00 [I,C*]; C07C0271-14 [I,A];
               C07C0271-22 [I,A]; C07C0275-00 [I,C*]; C07C0275-30 [I,A];
               C07C0311-00 [I,C*]; C07C0311-03 [I,A]; C07D0209-00 [I,C*];
               C07D0209-34 [I,A]; C07D0209-94 [I,A]; C07D0211-00 [I,C*];
               C07D0211-34 [I,A]; C07D0213-00 [I,C*]; C07D0213-64 [I,A];
               C07D0213-65 [I,A]; C07D0213-68 [I,A]; C07D0215-00 [I,C*];
               C07D0215-06 [I,A]; C07D0231-00 [I,C*]; C07D0231-12 [I,A];
               C07D0233-00 [I,C*]; C07D0233-70 [I,A]; C07D0233-80 [I,A];
               C07D0237-00 [I,C*]; C07D0237-28 [I,A]; C07D0237-32 [I,A];
               C07D0239-00 [I,C*]; C07D0239-34 [I,A]; C07D0249-00 [I,C*];
               C07D0249-04 [I,A]; C07D0249-08 [I,A]; C07D0249-12 [I,A];
               C07D0263-00 [I,C*]; C07D0263-58 [I,A]; C07D0267-00 [I,C*];
               C07D0267-14 [I,A]; C07D0277-00 [I,C*]; C07D0277-30 [I,A];
               C07D0277-36 [I,A]; C07D0295-00 [I,C*]; C07D0295-13 [I,A];
               C07D0295-15 [I,A]; C07D0513-00 [I,C*]; C07D0513-04 [I,A];
               C07D0521-00 [I,C*]; C07D0521-00 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15  ANSWER 6 OF 8  USPATFULL on STN
AN      2005:178121  USPATFULL
TI      Substituted aryl amides
IN      Hagmann, William K., Westfield, NJ, UNITED STATES
        Lin, Linus S., Westfield, NJ, UNITED STATES
        Shah, Shrenik K., Metuchen, NJ, UNITED STATES
PI      US 20050154202      A1  20050714
AI      US 2003-509277      A1  20030401 (10)
        WO 2003-US9800          20030401
PRAI    US 2002-370553P     20020405 (60)
DT      Utility
FS      APPLICATION
LN.CNT 5189
INCL    INCLM: 544/326.000
        INCLS: 546/309.000; 548/190.000; 546/122.000; 548/245.000; 544/406.000;
               548/367.400; 548/253.000; 548/328.100; 548/546.000; 564/161.000
NCL     NCLM:  544/326.000
        NCLS:  544/406.000; 546/122.000; 546/309.000; 548/190.000; 548/245.000;
               548/253.000; 548/328.100; 548/367.400; 548/546.000; 564/161.000
IC      [7]
        ICM    C07D471-02
        ICS    C07C233-39
        IPCI   C07D0471-02 [ICM,7]; C07D0471-00 [ICM,7,C*]; C07C0233-39 [ICS,7];
               C07C0233-00 [ICS,7,C*]
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IPCR C07B0061-00 [N,C*]; C07B0061-00 [N,A]; C07C0233-00 [I,C*];
C07C0233-66 [I,A]; C07C0235-00 [I,C*]; C07C0235-42 [I,A];
C07C0235-84 [I,A]; C07C0237-00 [I,C*]; C07C0237-20 [I,A];
C07D0207-00 [I,C*]; C07D0207-27 [I,A]; C07D0207-325 [I,A];
C07D0209-00 [I,C*]; C07D0209-88 [I,A]; C07D0213-00 [I,C*];
C07D0213-40 [I,A]; C07D0213-61 [I,A]; C07D0213-81 [I,A];
C07D0213-82 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A];
C07D0215-50 [I,A]; C07D0217-00 [I,C*]; C07D0217-02 [I,A];
C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0231-56 [I,A];
C07D0233-00 [I,C*]; C07D0233-32 [I,A]; C07D0233-90 [I,A];
C07D0235-00 [I,C*]; C07D0235-24 [I,A]; C07D0239-00 [I,C*];
C07D0239-28 [I,A]; C07D0239-80 [I,A]; C07D0241-00 [I,C*];
C07D0241-24 [I,A]; C07D0257-00 [I,C*]; C07D0257-04 [I,A];
C07D0261-00 [I,C*]; C07D0261-18 [I,A]; C07D0263-00 [I,C*];
C07D0263-58 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A];
C07D0277-00 [I,C*]; C07D0277-56 [I,A]; C07D0277-68 [I,A];
C07D0295-00 [I,C*]; C07D0295-155 [I,A]; C07D0307-00 [I,C*];
C07D0307-85 [I,A]; C07D0401-00 [I,C*]; C07D0401-04 [I,A];
C07D0471-00 [I,C*]; C07D0471-04 [I,A]; C07D0487-00 [I,C*];
C07D0487-04 [I,A]; C07D0495-00 [I,C*]; C07D0495-04 [I,A];
C07D0521-00 [I,C*]; C07D0521-00 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 7 OF 8 USPATFULL on STN
AN 2004:77041 USPATFULL
TI Substituted amides
IN Hagmann, William K., Westfield, NJ, UNITED STATES
Lin, Linus S., Westfield, NJ, UNITED STATES
Shah, Shrenik K., Metuchen, NJ, UNITED STATES
Guthikonda, Ravindra N., Edison, NJ, UNITED STATES
Qi, Hongbo, Edison, NJ, UNITED STATES
Chang, Linda L., Wayne, NJ, UNITED STATES
Liu, Ping, Edison, NJ, UNITED STATES
Armstrong, Helen M., Westfield, NJ, UNITED STATES
Jewell, James P., Jersey City, NJ, UNITED STATES
Lanza, Thomas J., JR., Edison, NJ, UNITED STATES
PI US 20040058820 A1 20040325
US 6972295 B2 20051206
AI US 2003-387265 A1 20030312 (10)
PRAI US 2002-428351P 20021122 (60)
US 2002-363597P 20020312 (60)
DT Utility
FS APPLICATION
LN.CNT 10591
INCL INCLM: 504/254.000
INCLS: 504/260.000; 504/280.000; 504/279.000; 504/330.000; 504/336.000;
546/298.000; 548/318.100; 548/367.100; 564/048.000; 564/170.000
NCL NCLM: 514/345.000; 504/254.000
NCLS: 546/290.000; 504/260.000; 504/279.000; 504/280.000; 504/330.000;
504/336.000; 546/298.000; 548/318.100; 548/367.100; 564/048.000;
564/170.000
IC [7]
ICM A01N047-28
ICS A01N043-40; A01N043-50; A01N043-56; C07D213-78; C07D233-80;
C07D231-36
IPCI A01N0047-28 [ICM,7]; A01N0043-40 [ICS,7]; A01N0043-34 [ICS,7,C*];
A01N0043-50 [ICS,7]; A01N0043-56 [ICS,7]; A01N0043-48 [ICS,7,C*];
C07D0213-78 [ICS,7]; C07D0213-00 [ICS,7,C*]; C07D0233-80 [ICS,7];
C07D0233-00 [ICS,7,C*]; C07D0231-36 [ICS,7]; C07D0231-00
[ICS,7,C*]
IPCI-2 A61K0031-4412 [ICM,7]; C07D0213-70 [ICS,7]; C07D0213-00
[ICS,7,C*]
IPCR C07C0233-00 [I,C*]; C07C0233-13 [I,A]; C07C0235-00 [I,C*];

C07C0235-06 [I,A]; C07C0235-20 [I,A]; C07C0235-34 [I,A];
C07C0235-74 [I,A]; C07C0235-78 [I,A]; C07C0237-00 [I,C*];
C07C0237-06 [I,A]; C07C0255-00 [I,C*]; C07C0255-55 [I,A];
C07C0255-60 [I,A]; C07C0271-00 [I,C*]; C07C0271-14 [I,A];
C07C0271-22 [I,A]; C07C0275-00 [I,C*]; C07C0275-30 [I,A];
C07C0311-00 [I,C*]; C07C0311-03 [I,A]; C07D0209-00 [I,C*];
C07D0209-34 [I,A]; C07D0209-94 [I,A]; C07D0211-00 [I,C*];
C07D0211-34 [I,A]; C07D0213-00 [I,C*]; C07D0213-64 [I,A];
C07D0213-65 [I,A]; C07D0213-68 [I,A]; C07D0215-00 [I,C*];
C07D0215-06 [I,A]; C07D0231-00 [I,C*]; C07D0231-12 [I,A];
C07D0233-00 [I,C*]; C07D0233-70 [I,A]; C07D0233-80 [I,A];
C07D0237-00 [I,C*]; C07D0237-28 [I,A]; C07D0237-32 [I,A];
C07D0239-00 [I,C*]; C07D0239-34 [I,A]; C07D0249-00 [I,C*];
C07D0249-04 [I,A]; C07D0249-08 [I,A]; C07D0249-12 [I,A];
C07D0263-00 [I,C*]; C07D0263-58 [I,A]; C07D0267-00 [I,C*];
C07D0267-14 [I,A]; C07D0277-00 [I,C*]; C07D0277-30 [I,A];
C07D0277-36 [I,A]; C07D0295-00 [I,C*]; C07D0295-13 [I,A];
C07D0295-15 [I,A]; C07D0513-00 [I,C*]; C07D0513-04 [I,A];
C07D0521-00 [I,C*]; C07D0521-00 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L15 ANSWER 8 OF 8 PCTFULL COPYRIGHT 2008 Univentio on STN
AN 2004064844 PCTFULL ED 20040816 EW 200432
TIEN METHOD FOR INHIBITION OF NECROSIS INDUCED BY NEUROTROPHIN
TIFR METHODE D'INHIBITION DE NECROSE INDUITE PAR LA NEUROTROPHINE
IN YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-810, KR [KR, KR];
KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-762, KR [KR, KR];
WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-070, KR [KR, KR];
GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
PA NEUROTECH CO., LTD., 29-35, Woncheon-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-821, KR [KR, KR], for all designates States except US;
YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-810, KR [KR, KR], for US only;
KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-762, KR [KR, KR], for US only;
WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-070, KR [KR, KR], for US only;
GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
AG LEE, Sang-Yong, 4F., Byukcheon Bldg., 1597-5, Seocho-dong, Seocho-gu, Seoul_137-876, KR
LAF Korean
LA English
DT Patent
PI WO 2004064844 A1 20040805
DS W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW
W-U: AE AL AM AT AU AZ BG BR BY BZ CN CO CR CZ DE DK EC EE ES FI GE GH HU JP KE KG KP KZ LS MD MX MZ NI PH PL PT RU SK SL TJ TR TT UA UG UZ VN YU
RW (ARIPO): BW GH GM KE LS MW MZ SD SL SZ TZ UG ZM ZW
RW-U (ARIPO): BW GH GM KE LS MW MZ SD SL SZ TZ UG ZM ZW
RW (EAPO): AM AZ BY KG KZ MD RU TJ TM
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RW-U (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
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AI WO 2004-KR119 A 20040120
ICM A61K031-60

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L15 ANSWER 4 OF 8 USPATFULL on STN
DETD Suitable anti-obesity agents of use in combination with a compound of the present invention, include, but are not limited to: 1) growth hormone secretagogues, such as those disclosed and specifically described in U.S. Pat. No. 5,536,716; 2) growth hormone secretagogue receptor agonists/antagonists, such as NN703, hexarelin, MK-0677, SM-130686, CP-424,391, L-692,429 and L-163,255, and such as those disclosed in U.S. Pat. No. 6,358,951, U.S. Patent application Nos. 2002/049196 and 2002/022637, and PCT Application Nos. WO 01/56592 and WO 02/32888; 3) melanocortin agonists, such as Melanotan II or those described in WO 99/64002 and WO 00/74679; 4) Mc4r (melanocortin 4 receptor) agonists, such as CHIR86036 (Chiron), ME-10142, and ME-10145 (Melacure), and those disclosed in PCT Application Nos. WO 01/991752, WO 01/74844, WO 02/12166, WO 02/11715, and WO 02/12178; 5) β-3 agonists, such as AD9677/TAK677 (Dainippon/Takeda), CL-316,243, SB 418790, BRL-37344, L-796568, BMS-196085, BRL-35135A, CGP12177A, BTA-243, Trecadrine, Zeneca D7114, SR 59119A, and such as those disclosed in U.S. Pat. Nos. 5,705,515, and 5,451,677 and PCT Patent Publications WO94/18161, WO95/29159, WO97/46556, WO98/04526 and WO98/32753, WO 01/74782, and WO 02/32897; 6) 5HT-2 agonists; 7) 5HT2C (serotonin receptor 2C) agonists, such as BVT933, DPCA37215, WAY161503, R-1065, and those disclosed in U.S. Pat. No. 3,914,250, and PCT Application Nos. WO 02/36596, WO 02/48124, WO 02/10169, WO 01/66548, WO 02/44152, WO 02/51844, WO 02/40456, and WO 02/40457; 8) orexin antagonists, such as SB-334867-A, and those disclosed in PCT Patent Application Nos. WO 01/96302, WO 01/68609, WO 02/51232, WO 02/51838 and WO 02/090355; 9) melanin concentrating hormone antagonists; 10) melanin-concentrating hormone 1 receptor (MCHIR) antagonists, such as T-226296 (Takeda), and those disclosed in PCT Patent Application Nos. WO 01/82925, WO 01/87834, WO 02/06245, WO 02/04433, WO 02/51809 and WO 02/083134, and Japanese Patent Application No. JP 13226269; 11) melanin-concentrating hormone 2 receptor (MCH2R) agonist/antagonists; 12) galanin antagonists; 13) CCK agonists; 14) CCK-A (cholecystokinin-A) agonists, such as AR-R 15849, GI 181771, JMV-180, A-71378, A-71 623 and SR146131, and those discribed in U.S. Pat. No. 5,739,106; 15) GLP-1 agonists; 16) corticotropin-releasing hormone agonists; 17) NPY 5 antagonists, such as GW-569180A, GW-594884A, GW-587081X, GW-548118X, FR226928, FR 240662, FR252384, 1229U91, GI-264879A, CGP71683A, LY-377897, PD-160170, SR-120562A, SR-120819A and JCF-104, and those disclosed in U.S. Pat. Nos. 6,140,354, 6,191,160, 6,313,298, 6,337,332, 6,329,395, 6,326,375, 6,335,345, and 6,340,683, European Patent Nos. EP-01010691, and EP-01044970, and PCT Patent Publication Nos. WO 97/19682, WO 97/20820, WO 97/20821, WO 97/20822, WO 97/20823, WO 98/27063, WO 00/64880, WO 00/68197, WO 00/69849, WO 01/09120, WO 01/14376, WO 01/85714, WO 01/85730, WO 01/07409, WO 01/02379, WO 01/02379, WO 01/23388, WO 01/23389, WO 01/44201, WO 01/62737, WO 01/62738, WO 01/09120, WO 02/22592, WO 0248152, and WO 02/49648; 18) NPY 1 antagonists, such as BIBP3226, J-115814, BIBO 3304, LY-357897, CP-671906, GI-264879A, and those disclosed in U.S. Pat. No. 6,001,836, and PCT Patent Publication Nos. WO 96/14307, WO 01/23387, WO 99/51600, WO 01/85690, WO 01/85098, WO 01/85173, and WO 01/89528; 19) histamine receptor-3 (H3) modulators; 20) histamine receptor-3 (H3) antagonists/inverse agonists, such as hioperamide, 3-(1H-imidazol4-yl)propyl N-(4-pentenyl)carbamate, clobenpropit, iodophenpropit, imoproxifan, GT2394 (Gliatech), and those described and disclosed in PCT Application No. WO 02/15905, and O-[3-(1H-imidazol-4-yl)propanol]-

carbamates (Kiec-Kononowicz, K. et al., Pharmazie, 55:349-55 (2000)), piperidine-containing histamine H3-receptor antagonists (Lazewska, D. et al., Pharmazie, 56:927-32 (2001), benzophenone derivatives and related compounds (Sasse, A. et al., Arch. Pharm.(Weinheim) 334:45-52 (2001)), substituted N-phenylcarbamates (Reidemeister, S. et al., Pharmazie, 55:83-6 (2000)), and proxifan derivatives (Sasse, A. et al., J. Med. Chem. 43:3335-43 (2000)); 21) β-hydroxy steroid dehydrogenase-1 inhibitors (β-HSD-1); 22) PDE (phosphodiesterase) inhibitors, such as theophylline, pentoxifylline, zaprinast, sildenafil, amrinone, milrinone, cilostamide, rolipram, and cilomilast; 23) phosphodiesterase-3B (PDE3B) inhibitors; 24) NE (norepinephrine) transport inhibitors, such as GW 320659, despiramine, talsupram, and nomifensine; 25) non-selective serotonin/norepinephrine transport inhibitors, such as sibutramine or fenfluramine; 26) ghrelin antagonists, such as those disclosed in PCT Application Nos. WO 01/87335, and WO 02/08250; 27) leptin, including recombinant human leptin (PEG-OB, Hoffman La Roche) and recombinant methionyl human leptin (Amgen); 28) leptin derivatives, such as those disclosed in U.S. Pat. Nos. 5,552,524, 5,552,523, 5,552,522, 5,521,283, and PCT International Publication Nos. WO 96/23513, WO 96/23514, WO 96/23515, WO 96/23516, WO 96/23517, WO 96/23518, WO 96/23519, and WO 96/23520; 29) BRS3 (bombesin receptor subtype 3) agonists; 30) CNTF (Ciliary neurotrophic factors), such as GI-181771 (Glaxo-SmithKline), SR146131 (Sanofi Synthelabo), butabindide, PD170,292, and PD 149164 (Pfizer); 31) CNT derivatives, such as axokine (Regeneron), and those disclosed in PCT Application Nos. WO 94/09134, WO 98/22128, and WO 99/43813; 32) monoamine reuptake inhibitors, such as those disclosed in PCT Application Nos. WO 01/27068, and WO 01/62341; 33) UCP-1 (uncoupling protein-1), 2, or 3 activators, such as phytanic acid, 4-[(E)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-napthalenyl)-1-propenyl]benzoic acid (17NPB), retinoic acid, and those disclosed in PCT Patent Application No. WO 99/00123; 34) thyroid hormone β agonists, such as KB-2611 (KaroBioBMS), and those disclosed in PCT Application No. WO 02/15845, and Japanese Patent Application No. JP 2000256190; 35) FAS (fatty acid synthase) inhibitors, such as Cerulenin and C.sub.75; 36) DGAT1 (diacylglycerol acyltransferase 1) inhibitors; 37) DGAT2 (diacylglycerol acyltransferase 2) inhibitors; 38) ACC.sub.2 (acetyl-CoA carboxylase-2) inhibitors; 39) glucocorticoid antagonists; 40) acyl-estrogens, such as oleoyl-estrone, disclosed in del Mar-Grasa, M. et al., Obesity Research, 9:202-9 (2001); 41) lipase inhibitors, such as orlistat (Xenical®), Triton WR1339, RHC.sub.80267, lipstatin, tetrahydrolipstatin, teasaponin, diethylumbelliferyl phosphate, and those disclosed in PCT Application No. WO 01/77094; 42) fatty acid transporter inhibitors; 43) dicarboxylate transporter inhibitors; 44) glucose transporter inhibitors; 45) phosphate transporter inhibitors; 46) serotonin reuptake inhibitors, such as those disclosed in U.S. Pat. No. 6,365,633, and PCT Patent Application Nos. WO 01/27060, and WO 01/162341; 47) Metformin (Glucophage®); and/or 48) Topiramate (Topimax®).

DETD Suitable anti-asthmatic agents of use in combination with a compound of the present invention include, but are not limited to: (a) VLA-4 antagonists such as natalizumab and the compounds described in U.S. Pat. No. 5,510,332, WO97/03094, WO97/02289, WO96/40781, WO96/22966, WO96/20216, WO96/01644, WO96/06108, WO95/15973 and WO96/31206; (b) steroids and corticosteroids such as beclomethasone, methylprednisolone, betamethasone, prednisone, dexamethasone, and hydrocortisone; (c) antihistamines (H1-histamine antagonists) such as bromopheniramine, chlorpheniramine, dexchlorpheniramine, triprolidine, clemastine, diphenhydramine, diphenylpyraline, tripelennamine, hydroxyzine, methdilazine, promethazine, trimeprazine, azatadine, cyproheptadine, antazoline, pheniramine pyrilamine, astemizole, terfenadine, loratadine, desloratadine, cetirizine, fexofenadine, descarboethoxyloratadine, and the like; (d) non-steroidal anti-asthmatics including β2-agonists

(such as terbutaline, metaproterenol, fenoterol, isoetharine, albuterol, bitolterol, salmeterol, epinephrine, and pirbuterol), theophylline, cromolyn sodium, atropine, ipratropium bromide, leukotriene antagonists (such as zafirlukast, montelukast, pranlukast, iralukast, pobilukast, and SKB-106,203), and leukotriene biosynthesis inhibitors (such as zileuton and BAY-1005); (e) anti-cholinergic agents including muscarinic antagonists (such as ipratropium bromide and atropine); (f) antagonists of the chemokine receptors, especially CCR-1, CCR-2, and CCR-3; (g) immunosuppressants such as cyclosporin, tacrolimus, rapamycin and other FK-506 type immunosuppressants; (h) non-steroidal antiinflammatory agents (NSAIDs) such as propionic acid derivatives (alminoprofen, benoxaprofen, bucloxic acid, carprofen, fenbufen, fenoprofen, fluprofen, flurbiprofen, ibuprofen, indoprofen, ketoprofen, miroprofen, naproxen, oxaprozin, pirprofen, pranoprofen, suprofen, tiaprofenic acid, and tioxaprofen), acetic acid derivatives (indomethacin, acemetacin, alclofenac, clidanac, diclofenac, fenclofenac, fenclozic acid, fentiazac, furofenac, ibufenac, isoxepac, oxpinac, sulindac, tiopinac, tolmetin, zidometacin, and zomepirac), fenamic acid derivatives (flufenamic acid, meclofenamic acid, mefenamic acid, niflumic acid and tolfenamic acid), biphenylcarboxylic acid derivatives (diflunisal and flufenisal), oxicams (isoxicam, piroxicam, sudoxicam and tenoxican), salicylates (acetyl salicylic acid, sulfasalazine) and the pyrazolones (apazone, bezpiperylon, feprazone, mofebutazone, oxyphenbutazone, phenylbutazone); (i) cyclooxygenase-2 (COX-2) inhibitors such as celecoxib; (j) anti-diabetic agents such as insulin, sulfonylureas, biguanides (metformin), a-glucosidase inhibitors (acarbose) and glitazones (troglitazone, pioglitazone, englitazone, MCC-555, BRL49653 and the like); (k) preparations of interferon beta (interferon beta-1a, interferon beta-1b); (1) other compounds such as 5-aminosalicylic acid and prodrugs thereof, and pharmaceutically acceptable salts thereof.

DETD To a solution of 3-pyridylacetone hydrochloride (Wibaud, van der V. Recl. Trav. Chim. Pays-Bas. 1952, 71, 798) (10 g, 58 mmol) and 4-chlorobenzyl chloride (9.1 g, 58 mmol) in 100 mL of methylene chloride at -78° C. was added cesium hydroxide monohydrate (39 g, 0.23 mol) and tetrabutyl ammonium iodide (1 g). The reaction was allowed to warm to room temperature overnight, and the resulting mixture was partitioned between brine (100 mL) and ethyl acetate (100 mL). The organic layer was separated and the aqueous layer extracted with ethyl acetate (2+100 mL). The combined organic extracts were dried over anhydrous magnesium sulfate, filtered, and concentrated to dryness to give the title compound. .sup.1H NMR (500 MHz, CD.sub.3OD): δ 8.42 (d, 1H), 8.34 (d, 1H), 7.72 (d, 1H), 7.40 (dd, 1H), 7.18 (d, 2H), 7.06 (d, 1H) (dd, 1H), 3.38 (dd, 1H), 2.95 (dd, 1H), 2.10 (s, 3H). LC-MS: m/e 260 (M+H).sup.+ (1.9 min).

L15 ANSWER 7 OF 8 USPATFULL on STN

SUMM [1384] Suitable anti-obesity agents of use in combination with a compound of the present invention, include, but are not limited to: 1) growth hormone secretagogues, such as those disclosed and specifically described in U.S. Pat. No. 5,536,716; 2) growth hormone secretagogue receptor agonists/antagonists, such as NN703, hexarelin, MK-0677, SM-130686, CP-424,391, L-692,429 and L-163,255, and such as those disclosed in U.S. Pat. No. 6,358,951, U.S. Patent Application Nos. 2002/049196 and 2002/022637, and PCT Application Nos. WO 01/56592 and WO 02/32888; 3) melanocortin agonists, such as Melanotan II or those described in WO 99/64002 and WO 00/74679; 4) Mc4r (melanocortin 4 receptor) agonists, such as CHIR86036 (Chiron), ME-10142, and ME-10145 (Melacure), and those disclosed in PCT Application Nos. WO 01/991752, WO 01/74844, WO 02/12166, WO 02/11715, and WO 02/12178; 5) β-3 agonists, such as AD9677/TAK677 (Dainippon/Takeda), CL-316,243, SB 418790, BRL-37344, L-796568, BMS-196085, BRL-35135A, CGP12177A, BTA-243, Trecadrine, Zeneca D7114, SR 59119A, and such as those disclosed in U.S.

Pat. No. 5,705,515, and U.S. Pat. No. 5,451,677 and PCT Patent Publications WO94/18161, WO95/29159, WO97/46556, WO98/04526 and WO98/32753, WO 01/74782, and WO 02/32897; 6) 5HT-2 agonists; 7) 5HT2C (serotonin receptor 2C) agonists, such as BVT933, DPCA37215, WAY161503, R-1065, and those disclosed in U.S. Pat. No. 3,914,250, and PCT Application Nos. WO 02/36596, WO 02/48124, WO 02/10169, WO 01/66548, WO 02/44152, WO 02/51844, WO 02/40456, and WO 02/40457; 8) orexin antagonists, such as SB-334867-A, and those disclosed in PCT Patent Application Nos. WO 01/96302, WO 01/68609, WO 02/51232, and WO 02/51838; 9) melanin concentrating hormone antagonists; 10) melanin-concentrating hormone 1 receptor (MCH1R) antagonists, such as T-226296 (Takeda), and those disclosed in PCT Patent Application Nos. WO 01/82925, WO 01/87834, WO 02/06245, WO 02/04433, and WO 02/51809, and Japanese Patent Application No. JP 13226269; 11) melanin-concentrating hormone 2 receptor (MCH2R) agonist/antagonists; 12) galanin antagonists; 13) CCK agonists; 14) CCK-A (cholecystokinin-A) agonists, such as AR-R 15849, GI 181771, JMV-180, A-71378, A-71623 and SR146131, and those discribed in U.S. Pat. No. 5,739,106; 15) GLP-1 agonists; 16) corticotropin-releasing hormone agonists; 17) NPY 5 antagonists, such as GW-569180A, GW-594884A, GW-587081X, GW-548118X, FR226928, FR 240662, FR252384, 1229U91, GI-264879A, CGP71683A, LY-377897, PD-160170, SR-120562A, SR-120819A and JCF-104, and those disclosed in U.S. Pat. Nos. 6,140,354, 6,191,160, 6,313,298, 6,337,332, 6,329,395, 6,326,375, 6,335,345, and 6,340,683, European Patent Nos. EP-01010691, and EP-01044970, and PCT Patent Publication Nos. WO 97/19682, WO 97/20820, WO 97/20821, WO 97/20822, WO 97/20823, WO 98/27063, WO 00/64880, WO 00/68197, WO 00/69849, WO 01/09120, WO 01/14376, WO 01/85714, WO 01/85730, WO 01/07409, WO 01/02379, WO 01/02379, WO 01/23388, WO 01/23389, WO 01/44201, WO 01/62737, WO 01/62738, WO 01/09120, WO 02/22592, WO 0248152, and WO 02/49648; 18) NPY 1 antagonists, such as BIBP3226, J-115814, BIBO 3304, LY-357897, CP-671906, GI-264879A, and those disclosed in U.S. Pat. No. 6,001,836, and PCT Patent Publication Nos. WO 96/14307, WO 01/23387, WO 99/51600, WO 01/85690, WO 01/85098, WO 01/85173, and WO 01/89528; 19) histamine receptor-3 (H3) modulators; 20) histamine receptor-3 (H3) antagonists/inverse agonists, such as hioperamide, 3-(1H-imidazol-4-yl)propyl N-(4-pentenyl)carbamate, clobenpropit, iodophenpropit, imoproxifan, GT2394 (Gliatech), and those described and disclosed in PCT Application No. WO 02/15905, and O-[3-(1H-imidazol-4-yl)propanol]-carbamates (Kiec-Kononowicz, K. et al., Pharmazie, 55:349-55 (2000)), piperidine-containing histamine H3-receptor antagonists (Lazewska, D. et al., Pharmazie, 56:927-32 (2001), benzophenone derivatives and related compounds (Sasse, A. et al., Arch. Pharm. (Weinheim) 334:45-52 (2001)), substituted N-phenylcarbamates (Reidemeister, S. et al., Pharmazie, 55:83-6 (2000)), and proxifan derivatives (Sasse, A. et al., J. Med. Chem. 43:3335-43 (2000)); 21) β-hydroxy steroid dehydrogenase-1 inhibitors (β-HSD-1); 22) PDE (phosphodiesterase) inhibitors, such as theophylline, pentoxifylline, zaprinast, sildenafil, amrinone, milrinone, cilostamide, rolipram, and cilomilast; 23) phosphodiesterase-3B (PDE3B) inhibitors; 24) NE (norepinephrine) transport inhibitors, such as GW 320659, despiramine, talsupram, and nomifensine; 25) non-selective serotonin/norepinephrine transport inhibitors, such as sibutramine or fenfluramine; 26) ghrelin antagonists, such as those disclosed in PCT Application Nos. WO 01/87335, and WO 02/08250; 27) leptin, including recombinant human leptin (PEG-OB, Hoffman La Roche) and recombinant methionyl human leptin (Amgen); 28) leptin derivatives, such as those disclosed in U.S. Pat. Nos. 5,552,524, 5,552,523, 5,552,522, 5,521,283, and PCT International Publication Nos. WO 96/23513, WO 96/23514, WO 96/23515, WO 96/23516, WO 96/23517, WO 96/23518, WO 96/23519, and WO 96/23520; 29) BRS3 (bombesin receptor subtype 3) agonists; 30) CNTF (Ciliary neurotrophic factors), such as GI-181771 (Glaxo-SmithKline), SR146131 (Sanofi Synthelabo), butabindide, PD170,292, and PD 149164 (Pfizer); 31) CNTF derivatives, such as axokine (Regeneron), and those disclosed in PCT

Application Nos. WO 94/09134, WO 98/22128, and WO 99/43813; 32) monoamine reuptake inhibitors, such as those disclosed in PCT Application Nos. WO 01/27068, and WO 01/62341; 33) UCP-1 (uncoupling protein-1), 2, or 3 activators, such as phytanic acid, 4-[(E)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-napthalenyl)-1-propenyl]benzoic acid (TTNPB), retinoic acid, and those disclosed in PCT Patent Application No. WO 99/00123; 34) thyroid hormone β agonists, such as KB-2611 (KaroBioBMS), and those disclosed in PCT Application No. WO 02/15845, and Japanese Patent Application No. JP 2000256190; 35) FAS (fatty acid synthase) inhibitors, such as Cerulenin and C75; 36) DGAT1 (diacylglycerol acyltransferase 1) inhibitors; 37) DGAT2 (diacylglycerol acyltransferase 2) inhibitors; 38) ACC2 (acetyl-CoA carboxylase-2) inhibitors; 39) glucocorticoid antagonists; 40) acyl-estrogens, such as oleoyl-estrone, disclosed in del Mar-Grasa, M. et al., Obesity Research, 9:202-9 (2001); 41) lipase inhibitors, such as orlistat (Xenical®), Triton WR1339, RHC80267, lipstatin, tetrahydrolipstatin, teasaponin, diethylumbelliferyl phosphate, and those disclosed in PCT Application No. WO 01/77094; 42) fatty acid transporter inhibitors; 43) dicarboxylate transporter inhibitors; 44) glucose transporter inhibitors; 45) phosphate transporter inhibitors; 46) serotonin reuptake inhibitors, such as those disclosed in U.S. Pat. No. 6,365,633, and PCT Patent Application Nos. WO 01/27060, and WO 01/162341; 47) Metformin (Glucophage®); and/or 48) Topiramate (Topimax®).

SUMM [1464] Suitable anti-asthmatic agents of use in combination with a compound of the present invention include, but are not limited to: (a) VLA-4 antagonists such as natalizumab and the compounds described in U.S. Pat. No. 5,510,332, WO97/03094, WO97/02289, WO96/40781, WO96/22966, WO96/20216, WO96/01644, WO96/06108, WO95/15973 and WO96/31206; (b) steroids and corticosteroids such as beclomethasone, methylprednisolone, betamethasone, prednisone, dexamethasone, and hydrocortisone; (c) antihistamines (H1-histamine antagonists) such as bromopheniramine, chlorpheniramine, dexchlorpheniramine, triprolidine, clemastine, diphenhydramine, diphenylpyraline, tripelennamine, hydroxyzine, methdilazine, promethazine, trimeprazine, azatadine, cyproheptadine, antazoline, pheniramine pyrilamine, astemizole, terfenadine, loratadine, desloratadine, cetirizine, fexofenadine, descarboethoxyloratadine, and the like; (d) non-steroidal anti-asthmatics including β2-agonists (such as terbutaline, metaproterenol, fenoterol, isoetharine, albuterol, bitolterol, salmeterol, epinephrine, and pirbuterol), theophylline, cromolyn sodium, atropine, ipratropium bromide, leukotriene antagonists (such as zafirlukast, montelukast, pranlukast, iralukast, pobilukast, and SKB-106,203), and leukotriene biosynthesis inhibitors (such as zileuton and BAY-1005); (e) anti-cholinergic agents including muscarinic antagonists (such as ipratropium bromide and atropine); (f) antagonists of the chemokine receptors, especially CCR-1, CCR-2, and CCR-3; (g) immunosuppressants such as cyclosporin, tacrolimus, rapamycin and other FK-506 type immunosuppressants; (h) non-steroidal antiinflammatory agents (NSAIDs) such as propionic acid derivatives (alminoprofen, benoxaprofen, bucloxic acid, carprofen, fenbufen, fenoprofen, fluprofen, flurbiprofen, ibuprofen, indoprofen, ketoprofen, miroprofen, naproxen, oxaprozin, pirprofen, pranoprofen, suprofen, tiaprofenic acid, and tioxaprofen), acetic acid derivatives (indomethacin, acemetacin, alclofenac, clidanac, diclofenac, fenclofenac, fenclozic acid, fentiazac, furofenac, ibufenac, isoxepac, oxpinac, sulindac, tiopinac, tolmetin, zidometacin, and zomepirac), fenamic acid derivatives (flufenamic acid, meclofenamic acid, mefenamic acid, niflumic acid and tolfenamic acid), biphenylcarboxylic acid derivatives (diflunisal and flufenisal), oxicams (isoxicam, piroxicam, sudoxicam and tenoxican), salicylates (acetyl salicylic acid, sulfasalazine) and the pyrazolones (apazone, bezpiperylon, feprazone, mofebutazone, oxyphenbutazone, phenylbutazone); (i) cyclooxygenase-2 (COX-2) inhibitors such as celecoxib; (j) anti-diabetic agents such as insulin, sulfonylureas,

biguanides (metformin), a-glucosidase inhibitors (acarbose) and glitazones (troglitazone, pioglitazone, englitazone, MCC-555, BRL49653 and the like); (k) preparations of interferon beta (interferon beta-1a, interferon beta-1b); (l) other compounds such as 5-aminosalicylic acid and prodrugs thereof, and pharmaceutically acceptable salts thereof.

DETD [1579] To a solution of 3-pyridylacetone hydrochloride (Wibaud, van der V. Recl. Trav. Chim. Pays-Bas. 1952, 71, 798) (10 g, 58 mmol) and 4-chlorobenzyl chloride (9.1 g, 58 mmol) in 100 mL CH.sub.2Cl.sub.2 at -78° C. was added cesium hydroxide monohydrate (39 g, 0.23 mol) and tetrabutyl ammonium iodide (1 g). The reaction was allowed to warm to room temperature overnight, and the resulting mixture was partitioned between brine (100 mL) and EtOAc (100 mL). The organic layer was separated and the aqueous layer extracted with EtOAc (2+100 mL). The combined organic extracts were dried over anhydrous MgSO.sub.4, filtered, and concentrated to dryness to give the title compound. .sup.1H NMR (500 MHz, CD.sub.3OD): δ 8.42 (d, 1H), 8.34 (d, 1H), 7.72 (d, 1H), 7.40 (dd, 1H), 7.18 (d, 2H), 7.06 (d, 1H), 4.23 (dd, 1H), 3.38 (dd, 1H), 2.95 (dd, 1H), 2.10 (s, 3H). LC-MS: m/e 260 (M+H).sup.+ (1.9 min).

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